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 TI Preparation of N2-phenylamidines as fungicides
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	BR 2000009314	A	20020213	BR 2000-9314	20000204
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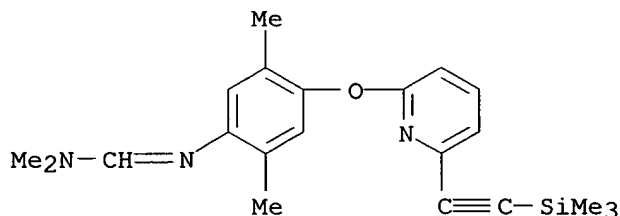
AB The title compds. [I; R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3 = R1, CN, acyl, etc.; R2 and R3, or R2 and R1, together with their interconnecting atoms may form (un)substituted ring; R4 = alkyl, alkenyl, alkynyl, etc.; m = 0-3; when present R5 = R4; R6 = (un)substituted carbo- or heterocyclyl; A = a direct bond, O, C.tplbond.C, etc.; AR6 and R5 together with benzene ring M form an (un)substituted fused ring system], useful as fungicides, were prep'd. E.g., a 3-step prepn. of the formamidine II which showed moderate to total control against Erysiphe graminis f. sp. Tritici at 500 ppm (w/v) or less, was given.

IT **287940-41-4P 287940-42-5P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N2-phenylamidines as fungicides)

RN 287940-41-4 CAPLUS

CN Methanimidamide, N'-[2,5-dimethyl-4-[[6-[(trimethylsilyl)ethynyl]-2-pyridinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

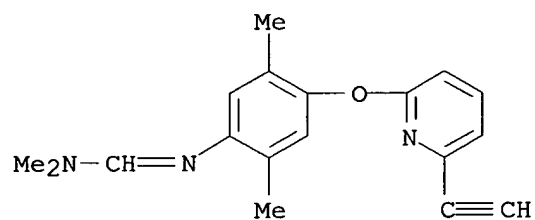


RN 287940-42-5 CAPLUS

CN Methanimidamide, N'-[4-[(6-ethynyl-2-pyridinyl)oxy]-2,5-dimethylphenyl]-

10/087,066

N,N-dimethyl- (9CI) (CA INDEX NAME)

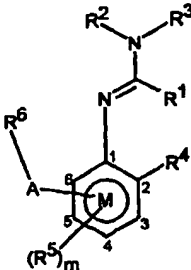


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(54) Title: N ² -PHENYLAMIDINE DERIVATIVES			
			
(57) Abstract			
<p>The invention provides fungicidal compounds of formula (I) and salts thereof wherein: R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen; R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which may be substituted; R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a or -Si(R^a)₃; m is 0 to 3; when present R⁵, which may be the same or different to any other R⁵, is any group defined for R⁴; R⁶ is optionally substituted carbo- or heterocyclyl; and A is a defined linking group, or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted fused ring system.</p>			

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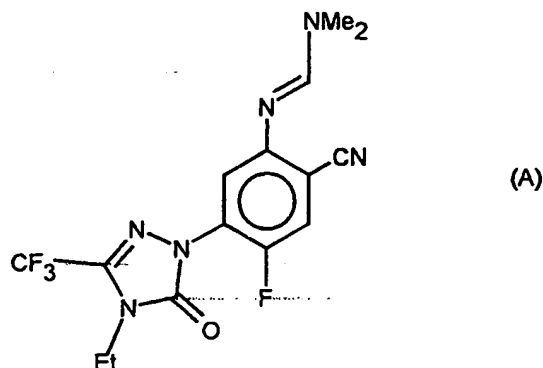
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N²-Phenylamidine Derivatives

This invention relates to the use of compounds as fungicides.

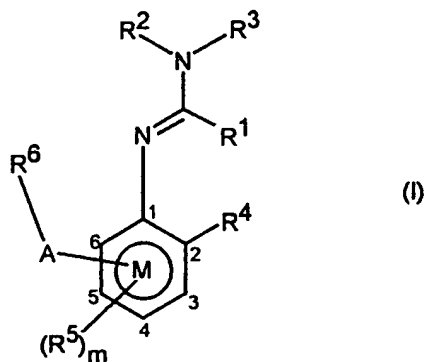
- 5 WO 95/22532 relates to substituted phenyltriazolinones claimed as herbicides and discloses *inter alia* a compound of formula A for which there is no characterising data therein.



- 10 The abstract, composition claim and use claim refer only to the use of such compounds as herbicides and indeed the description supports the invention only with herbicidal activity data. There is a sentence in the specification that states that certain compounds show fungicidal activity, although no fungicidal activity data are provided. No indication is given as to which compounds are fungicidal and there is no suggestion that compound A could be fungicidal.

15

We have now found that certain amidines have fungicidal activity. Therefore, the invention provides the use of a compound of general formula I and salts thereof as fungicides



20

wherein

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen;

R² and R³, which may be the same or different, are any group defined for R¹;

5 cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which may be substituted;

10 R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a or -Si(R^a)₃;

m is 0 to 3;

when present R⁵, which may be the same or different to any other R⁵, is any

15 group defined for R⁴;

R⁶ is optionally substituted carbo- or heterocyclyl; and

A is a direct bond, -O-, -S(O)_n-, -NR⁹-, -CR⁷=CR⁷-, -C≡C-, -A¹-, -A¹-A¹-,

-O-(A¹)_k-O-, -O-(A¹)_k-, -A³-, -A⁴-, -A¹O-, -A¹S(O)_n-, -A²-, OA²-,

-NR⁹A²-, -OA²-A¹-, -OA²-C(R⁷)=C(R⁸)-, -S(O)_nA¹-, -A¹-A⁴-,

20 -A¹-A⁴-C(R⁸)=N-N=CR⁸-, -A¹-A⁴-C(R⁸)=N-X²-X³-, -A¹-A⁴-A³-,

-A¹-A⁴-N(R⁹)-, -A¹-A⁴-X-CH₂-, -A¹-A⁴-A¹-, -A¹-A⁴-CH₂X-,

-A¹-A⁴-C(R⁸)=N-X²-X³-X¹-, -A¹-X-C(R⁸)=N-, -A¹-X-C(R⁸)=N-N=CR⁸-,

-A¹-X-C(R⁸)=N-N(R⁹)-, -A¹-X-A²-X¹-, -A¹-O-A³-, -A¹-O-C(R⁷)=C(R⁸)-,

-A¹-O-N(R⁹)-A²-N(R⁹)-, -A¹-O-N(R⁹)-A²-, -A¹-N(R⁹)-A²-N(R⁹)-,

25 -A¹-N(R⁹)-A²-, -A¹-N(R⁹)-N=C(R⁸)-, -A³-A¹-, -A⁴-A³-, -A²-NR⁹-,

-A¹-A²-X¹-, -A¹-A¹-A²-X¹-, -O-A²-N(R⁹)-A²-, -CR⁷=CR⁷-A²-X¹-,

-C≡C-A²-X¹-, -N=C(R⁸)-A²-X¹-, -C(R⁸)=N-N=C(R⁸)-, -C(R⁸)=N-N(R⁹)-,

-(CH₂)₂-O-N=C(R⁸)- or -X-A²-N(R⁹)-

where

30 n is 0, 1 or 2,

- k is 1 to 9,
A¹ is -CHR⁷-,
A² is -C(=X)-,
A³ is -C(R⁸)=N-O-,
5 A⁴ is -O-N=C(R⁸)-,
X is O or S,
X¹ is O, S, NR⁹ or a direct bond,
X² is O, NR⁹ or a direct bond,
X³ is hydrogen, -C(=O)-, -SO₂- or a direct bond,
10 R⁷, which may be the same or different to any other R⁷, is alkyl, cycloalkyl
or phenyl, each of which may be substituted; or is hydrogen,
halogen, cyano or acyl;
R⁸, which may be the same or different to any other R⁸, is alkyl, alkenyl,
alkynyl, alkoxy, alkylthio, carbo- or heterocyclyl, each of which may
15 be substituted; or is hydrogen;
R⁹, which may be the same or different to any other R⁹, is optionally
substituted alkyl, optionally substituted carbo- or heterocyclyl,
hydrogen or acyl; or two R⁹ groups on A, together with the
connecting atoms, form a 5 to 7 membered ring;
20 where the moiety depicted on the right side of linkage A is attached to R⁶;
or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted
fused ring system.
- Preferably R¹ is alkyl, alkenyl or alkynyl, each of which may be substituted by
25 alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably
phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio,
each containing 1 to 5 carbon atoms, or halogen), or is hydrogen. R¹ is especially
C₁-C₁₀ alkyl (e.g. methyl) or hydrogen.
- 30 Preferably R² and R³, which may be the same or different, are alkyl, alkenyl or
alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio,
halogen or optionally substituted phenyl (preferably phenyl, optionally substituted

by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or by halogen), or is hydrogen, alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl. R^2 and R^3 , which may be the same or different, are especially C_1 - C_{10} alkyl (e.g. methyl or ethyl) or hydrogen.

5

Preferably R^4 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or halogen); or is hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^C$, $-C(=S)R^C$ or $-S(O)_pR^C$, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); alkoxy; haloalkoxy; or alkylthio. R^4 is especially C_1 - C_{10} alkyl (e.g. methyl or ethyl) or halogen.

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Preferably m is 0 or 1, especially 1.

When present, R^5 is preferably a group defined for preferred R^4 above.

R^5 is especially C_1 - C_{10} alkyl or halogen.

20

When present, the group R^5 is preferably attached at the 5 position of ring M.

Preferably A is a direct bond, $-O-$, $-S(O)_nA^1-$, $-O(A^1)_k-$, $-S(O)_n-$, $-NR^9A^2-$, $-A^2-$, $-OA^2-$, $-OA^2-A^1-$, $-NR^9-$ or $-O(A^1)_kO-$. Particularly A is a direct bond, $-O-$, $-S-$, $-NR^9-$, $-CHR^7-$ or $-O-CHR^7-$. Especially A is a direct bond or $-O-$. When present, R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or halogen); or is hydrogen (R^9 is especially C_1 - C_{10} alkyl or hydrogen). When present, R^7 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl,

30

alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or by halogen); or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; alkylthio; or hydrogen (R^7 is especially C_1 - C_{10} alkyl or hydrogen).

- 5 Preferably A is attached to the 4 position of benzene ring M.

Preferably R^6 is optionally substituted phenyl or optionally substituted aromatic heterocyclyl [preferably thiazolyl, isothiazolyl, thiadiazolyl (particularly 1,2,4-thiadiazolyl), pyridyl or pyrimidinyl].

10

When substituted, R^6 may be substituted by one or more substituents, which may be the same or different, and may be selected from the preferred list: alkyl, alkenyl, alkynyl, carbo- or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted

- 15 amino; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ and $-Si(R^a)_3$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted.

A preferred list of substituents on R^6 is: hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^c$, $-C(=S)R^c$ or $-S(O)_pR^c$, where R^c is alkyl, haloalkyl, alkoxy, haloalkoxy,

- 20 alkylthio, amino, monalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO -alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl (preferably cyclohexyl or cyclopentyl) optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally
25 substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

A particularly preferred list of substituents on R^6 is: cyclopentyl, cyclohexyl or benzyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylthio; or is halogen; alkyl; haloalkyl; alkoxyalkyl; hydroxyalkyl; alkoxy; or alkylthio.

30

In a preferred embodiment, the invention provides the use of a compound of general formula I and salts thereof as fungicides wherein:

R^1 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydrogen;

R^2 and R^3 , which may be the same or different, are as defined for R^1 in this embodiment, or are alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl;

R^4 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^C$, $-C(=S)R^C$ or $-S(O)_pR^C$, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio);

m is 0 or 1;

when present, R^5 is a group defined for R^4 in this embodiment;

A is a direct bond, $-O-$, $-S-$, $-NR^9-$, $-CHR^7-$ or $-O-CHR^7-$,

wherein when present, R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, or halogen; or

is hydrogen; and R^7 is a group defined for R^9 in this embodiment, or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy or alkylthio;

A is attached to the 4 position of benzene ring M; and

R^6 is phenyl or aromatic heterocyclyl, optionally substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^C$, $-C(=S)R^C$ or $-S(O)_pR^C$, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO -alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl (preferably cyclohexyl or cyclopentyl) optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

Most compounds of general formula I are novel. Therefore according to a second aspect, the invention provides compounds of formula I wherein

5 R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

R^2 and R^3 , which may be the same or different, are any group defined for R^1 , or together with the nitrogen to which they are attached may form a ring, which may be substituted;

10 R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted;

m is 1;

R^5 is any group defined for R^4 attached to the 5-position of the benzene ring M;

R^6 is optionally substituted-carbo- or heterocyclyl; and

15 A is a direct bond; -O-; -S-; -NR⁹-, where R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; -CHR⁷- or -O-CHR⁷-, where R^7 is alkyl, alkenyl, or alkynyl, which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; or is hydroxy; halogen; cyano; acyl; alkoxy; 20 haloalkoxy; or alkylthio;

where -A- R^6 is in the 4-position of the benzene ring M and the moiety depicted on the right side of linkage A is attached to R^6 ;

or -A- R^6 and R^5 together with benzene ring M form an optionally substituted fused ring system.

25

Any alkyl group may be straight or branched and is preferably of 1 to 10 carbon atoms, especially 1 to 7 and particularly 1 to 5 carbon atoms.

30 Any alkenyl or alkynyl group may be straight or branched and is preferably of 2 to 7 carbon atoms and may contain up to 3 double or triple bonds which may be conjugated, for example vinyl, allyl, butadienyl or propargyl.

Any carbocyclyl group may be saturated, unsaturated or aromatic, and contain 3 to 8 ring-atoms. Preferred saturated carbocyclyl groups are cyclopropyl,

cyclopentyl or cyclohexyl. Preferred unsaturated carbocyclyl groups contain up to 3 double bonds. A preferred aromatic carbocyclyl group is phenyl. The term carbocyclic should be similarly construed. In addition, the term carbocyclyl includes any fused combination of carbocyclyl groups, for example naphthyl, phenanthryl, indanyl and indenyl.

Any heterocyclyl group may be saturated, unsaturated or aromatic, and contain 5 to 7 ring-atoms up to 4 of which may be hetero-atoms such as nitrogen, oxygen and sulfur. Examples of heterocyclyl groups are furyl, thienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, dioxolanyl, oxazolyl, thiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, pyranyl, pyridyl, piperidinyl, dioxanyl, morpholino, dithianyl, thiomorpholino, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl, sulfotanyl, tetrazolyl, triazinyl, azepinyl, oxazepinyl, thiazepinyl, diazepinyl and thiazolinyl. In addition, the term heterocyclyl includes fused heterocyclyl groups, for example benzimidazolyl, benzoxazolyl, imidazopyridinyl, benzoxazinyl, benzothiazinyl, oxazolopyridinyl, benzofuranyl, quinolinyl, quinazolinyl, quinoxalinyl, dihydroquinazolinyl, benzothiazolyl, phthalimido, benzofuranyl, benzodiazepinyl, indolyl and isoindolyl. The term heterocyclic should be similarly construed.

Any alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl group, when substituted, may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; optionally substituted carbocyclyl; optionally substituted heterocyclyl; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ and $-Si(R^a)_3$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted. In the case of any carbocyclyl or heterocyclyl group the list includes additionally: alkyl, alkenyl and alkynyl, each of which may be substituted. Preferred substituents on any alkyl, alkenyl or alkynyl group are alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl. Preferred substituents on any carbocyclyl or heterocyclyl group are alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl.

In the case of any alkyl group or any unsaturated ring-carbon in any carbocyclyl or heterocyclyl group the list includes a divalent group such as oxo or imino, which may be substituted by optionally substituted amino, R^a or $-OR^a$ (where R^a is as defined above). Preferred groups are oxo, imino, alkylimino, oximino, alkylloximino or hydrazono.

Any amino group, when substituted and where appropriate, may be substituted by one or two substituents which may be the same or different, selected from the list: optionally substituted alkyl, optionally substituted amino, $-OR^a$ (where R^a is as defined above) and acyl groups. Alternatively two substituents together with the nitrogen to which they are attached may form a heterocyclyl group, preferably a 5 to 7-membered heterocyclyl group, which may be substituted and may contain other hetero atoms, for example morpholino, thiomorpholino or piperidinyl.

The term acyl includes the residues of sulfur and phosphorus-containing acids as well as carboxylic acids. Typically the residues are covered by the general formulae $-C(=X^a)R^b$, $-S(O)_pR^b$ and $-P(=X^a)(OR^a)(OR^a)$, where appropriate X^a is O or S, R^b is as defined for R^a , $-OR^a$, $-SR^a$, optionally substituted amino or acyl; and p is 1 or 2. Preferred groups are $-C(=O)R^c$, $-C(=S)R^c$, and $-S(O)_pR^c$ where R^c is alkyl, C_1 to C_5 alkoxy, C_1 to C_5 alkylthio, phenyl, heterocyclyl or amino, each of which may be substituted.

Complexes of compounds of the invention are usually formed from a salt of formula MAN_2 , in which M is a divalent metal cation, e.g. copper, manganese, cobalt, nickel, iron or zinc and An is an anion, e.g. chloride, nitrate or sulfate.

In cases where the compounds of the invention exist as the E and Z isomers, the invention includes individual isomers as well as mixtures thereof.

In cases where compounds of the invention exist as tautomeric isomers, the invention includes individual tautomers as well as mixtures thereof.

In cases where the compounds of the invention exist as optical isomers, the invention includes individual isomers as well as mixtures thereof.

The compounds of the invention have activity as fungicides, especially against fungal diseases of plants, e.g. mildews and particularly cereal powdery mildew (*Erysiphe graminis*) and vine downy mildew (*Plasmopara viticola*), rice blast (5 *Pyricularia oryzae*), cereal eyespot (*Pseudocercospora herpotrichoides*), rice sheath blight (*Pellicularia sasakii*), grey mould (*Botrytis cinerea*), damping off (*Rhizoctonia solani*), wheat brown rust (*Puccinia recondita*), late tomato or potato blight (*Phytophthora infestans*), apple scab (*Venturia inaequalis*), and glume blotch (*Leptosphaeria nodorum*). Other fungi against which the compounds may be active (10 include other powdery mildews, other rusts, and other general pathogens of Deuteromycete, Ascomycete, Phycomycete and Basidiomycete origin.

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a (15 compound of formula I.

The invention also provides an agricultural composition comprising a compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

20 The composition of the invention may of course include more than one compound of the invention.

In addition, the composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, (25 herbicidal, fungicidal, insecticidal, acaricidal, antimicrobial or antibacterial properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

The diluent or carrier in the composition of the invention can be a solid or a liquid (30 optionally in association with a surface-active agent, for example a dispersing agent, emulsifying agent or wetting agent. Suitable surface-active agents include anionic compounds such as a carboxylate, for example a metal carboxylate of a long chain fatty acid; an *N*-acylsarcosinate; mono- or di-esters of phosphoric acid with fatty alcohol ethoxylates or alkyl phenol ethoxylates or salts of such esters; (35 fatty alcohol sulfates such as sodium dodecyl sulfate, sodium octadecyl sulfate or

sodium cetyl sulfate; ethoxylated fatty alcohol sulfates; ethoxylated alkylphenol sulfates; lignin sulfonates; petroleum sulfonates; alkyl-aryl sulfonates such as alkyl-benzene sulfonates or lower alkylnaphthalene sulfonates, e.g. butyl-naphthalene sulfonate; salts of sulfonated naphthalene-formaldehyde condensates; salts of sulfonated phenol-formaldehyde condensates; or more complex sulfonates such as the amide sulfonates, e.g. the sulfonated condensation product of oleic acid and *N*-methyl taurine; the dialkyl sulfosuccinates, e.g. the sodium sulfonate of dioctyl succinate; acid derivatives of alkyl glycosides and alkylpolyglycosides materials and their metal salts, e.g. alkyl polyglycoside citrate or tartrate materials; or mono-, di- and tri-alkyl esters of citric acid and their metal salts.

Nonionic agents include condensation products of fatty acid esters, fatty alcohols, fatty acid amides or fatty-alkyl- or alkenyl-substituted phenols with ethylene and/or propylene oxide; fatty esters of polyhydric alcohol ethers, e.g. sorbitan fatty acid esters; condensation products of such esters with ethylene oxide, e.g. polyoxyethylene sorbitan fatty acid esters; alkyl glycosides, alkyl polyglycoside materials; block copolymers of ethylene oxide and propylene oxide; acetylenic glycols such as 2,4,7,9-tetramethyl-5-decyne-4,7-diol, ethoxylated acetylenic glycols; acrylic based graft copolymers; alkoxyated siloxane surfactants; or imidazoline type surfactants, e.g. 1-hydroxyethyl-2-alkylimidazoline.

Examples of a cationic surface-active agent include, for instance, an aliphatic mono-, di-, or polyamine as an acetate, naphthenate or oleate; an oxygen-containing amine such as an amine oxide, polyoxyethylene alkylamine or polyoxypropylene alkylamine; an amide-linked amine prepared by the condensation of a carboxylic acid with a di- or polyamine; or a quaternary ammonium salt.

The compositions of the invention can take any form known in the art for the formulation of agrochemicals, for example, a solution, an aerosol, a dispersion, an aqueous emulsion, a microemulsion, a dispersible concentrate, a dusting powder, a seed dressing, a fumigant, a smoke, a dispersible powder, an emulsifiable concentrate, granules or an impregnated strip. Moreover it can be in a suitable form for direct application or as a concentrate or primary composition which requires dilution with a suitable quantity of water or other diluent before

application.

5 A dispersible concentrate comprises a compound of the invention dissolved in one or more water miscible or semi-water miscible solvents together with one or more surface active and/or polymeric material. Addition of the formulation to water results in the crystallisation of the active ingredient, the process being controlled by the surfactants and/or polymers resulting in a fine dispersion.

10 A dusting powder comprises a compound of the invention intimately mixed and ground with a solid pulverulent diluent, for example, kaolin.

15 An emulsifiable concentrate comprises a compound of the invention dissolved in a water-immiscible solvent which forms an emulsion or microemulsion on addition to water in the presence of an emulsifying agent.

20 A granular solid comprises a compound of the invention associated with similar diluents to those that may be employed in dusting powders, but the mixture is granulated by known methods. Alternatively it comprises the active ingredient absorbed or coated on a pre-formed granular carrier, for example, Fuller's earth, attapulgite, silica or limestone grit.

25 Wettable powders, granules or grains usually comprise the active ingredient in admixture with suitable surfactants and an inert powder diluent such as clay or diatomaceous earth.

Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the compound with water or other liquid, surfactants and a suspending agent.

30 The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

35

In use a compound of the invention is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active
5 compound can be applied in any manner which allows it to be intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

10

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is
15 generally important to obtain good control of fungi in the early stages of plant growth, as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots, bulbs, tubers or other vegetative propagule of a plant before or during planting, for example, by
20 dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

In addition, the compounds of the invention can be applied to harvested fruits,
25 vegetables or seeds to prevent infection during storage.

In addition, the compounds of the invention can be applied to plants or parts thereof which have been genetically modified to exhibit a trait such as fungal and/or herbicidal resistance.

30

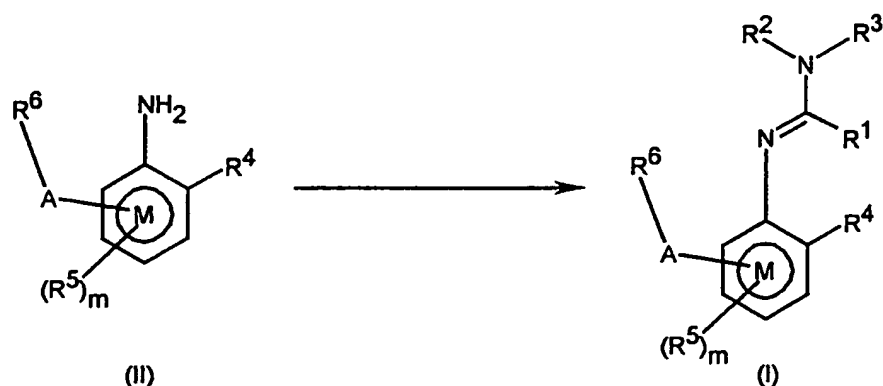
In addition the compounds of the invention can be used to treat fungal infestations in timber and in public health applications. Also the compounds of the invention can be used to treat fungal infestations in domestic and farm animals.

Compounds of the invention may be prepared, in known manner, in a variety of ways.

- 5 Compounds of general formula I may be prepared from compounds of general formula II according to Scheme 1. The following reaction conditions may be used to effect conversion:

- a) reaction with $R^2R^3NC(R^1)(OR)_2$, where R is a group such as alkyl;
- b) reaction with $ROC(R^1)=NCN$;
- 10 c) when R^1 is hydrogen, by reaction with $H(C=O)NR^2R^3$ in the presence of $POCl_3$ or $SOCl_2$; or
- d) in two steps by reaction with phosgene to form the isocyanate and then treatment with $R^2R^3N(C=O)R^1$.

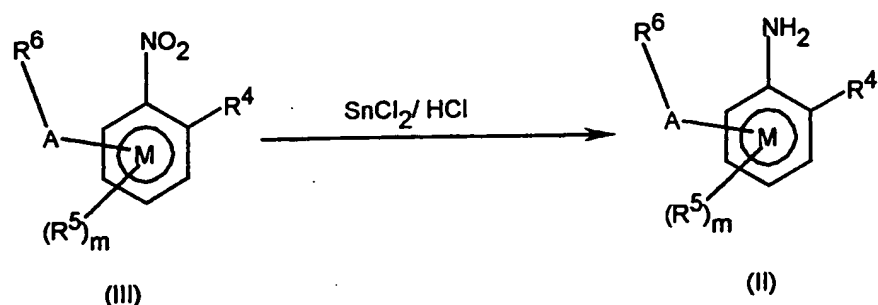
Scheme 1



15

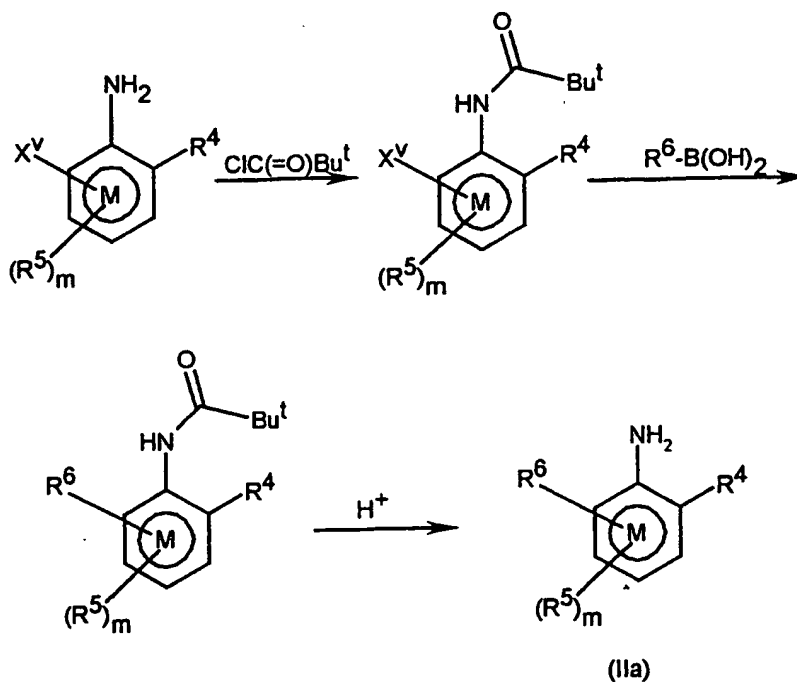
In addition, groups R^2 and R^3 in compounds of general formula I can be converted to other groups defined for R^2 and R^3 , by treatment with an appropriate amine or by acylation or alkylation when R^2 or R^3 is hydrogen.

- 20 Compounds of general formula II may be prepared by reduction of the nitro group in compounds of formula III according to reaction scheme 2. Preferred reaction conditions comprise reaction with stannous chloride in concentrated hydrochloric acid.

Scheme 2

Compounds of formula IIa, i.e. compounds of general formula II where A is a direct bond, may be prepared according to reaction scheme 3, where X^V is a leaving group.

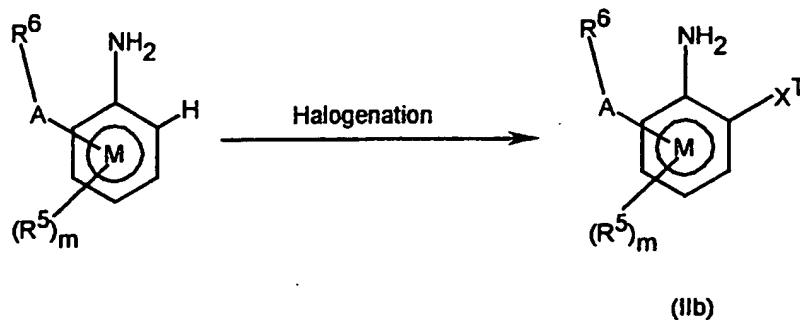
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Scheme 3

Compounds of formula IIb, i.e. compounds of general formula II where R⁴ is

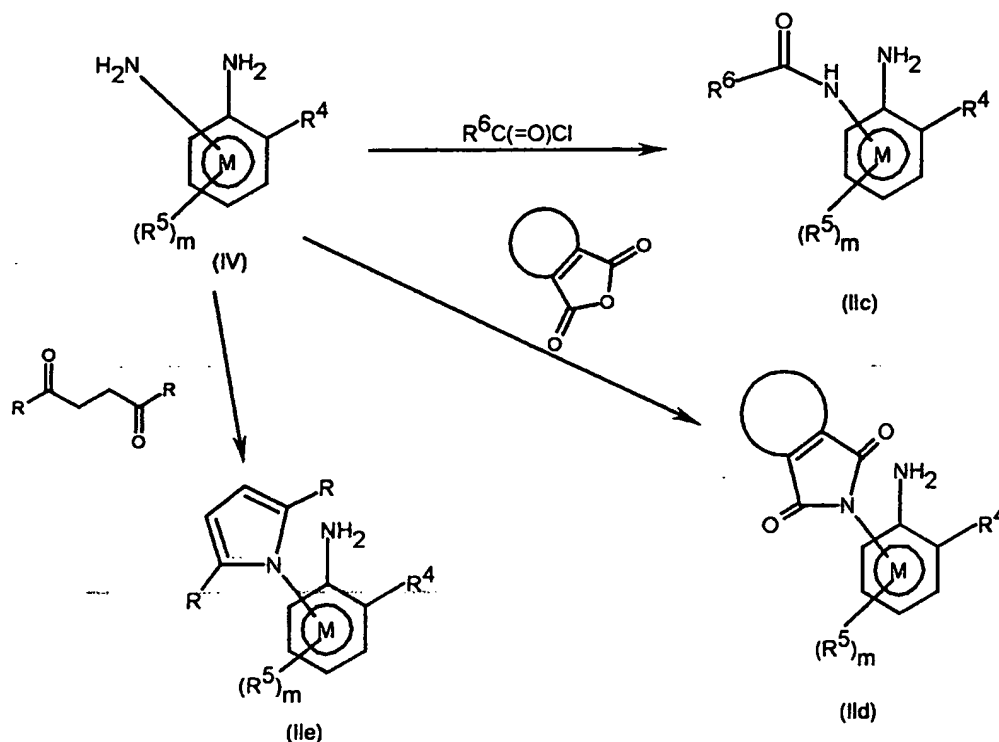
10 halogen, may be prepared according to scheme 4 where X^T represents halogen.

When R⁴ is bromine preferred reaction conditions comprise stirring with bromine in a suitable solvent.

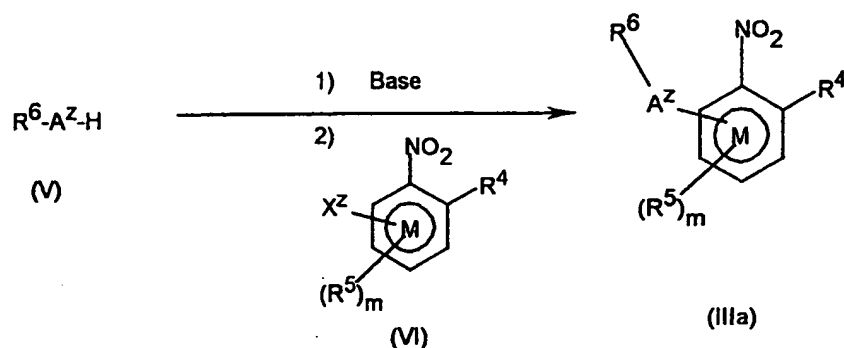
Scheme 4

- Compounds of formula IIc, i.e. compounds of general formula II where A is NHC(=O)-; compounds of formula IId, i.e. compounds of formula II where A is a direct bond and R⁶ is optionally substituted phthalimido, where the curved line connecting the 3 and 4 positions of the phthalimido group represents the optionally substituted carbocyclic ring; and compounds of formula IIe, i.e. compounds of general formula II where A is a direct bond and R⁶ is pyrrolyl, optionally substituted at the 2 and 5 positions by one or more groups R which may be the same or different; may be prepared from compounds of formula IV according to methodology shown in reaction scheme 5. For certain compounds of formula IV, protection/deprotection of the amino group ortho to R⁴ may be required to improve yields.

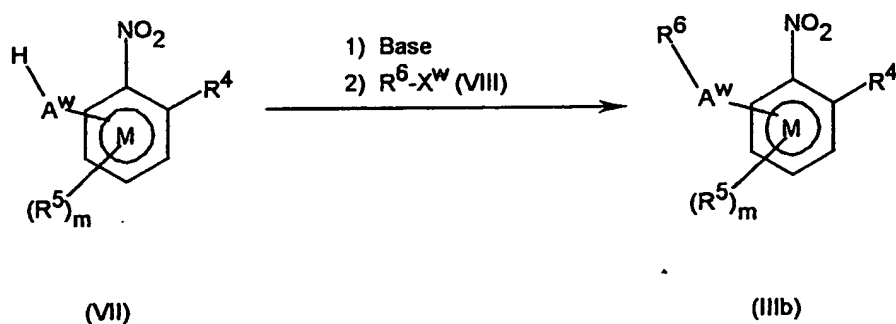
Scheme 5



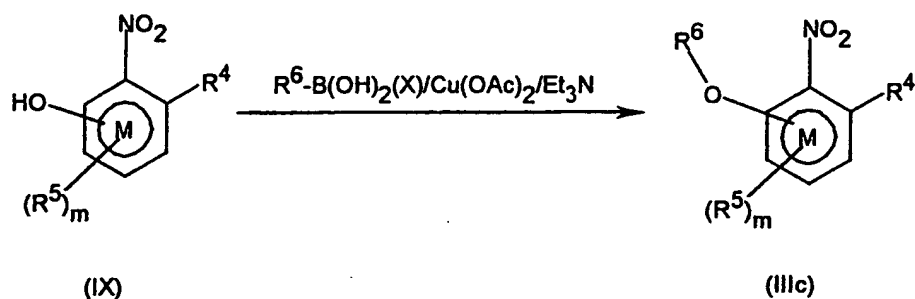
- Compounds of formula IIIa, i.e. compounds of general formula III where A is a group A^Z , may be prepared by reacting compounds of formula V with compounds of formula VI according to reaction scheme 6. A^Z is a group which, in compound V, forms an anion under basic conditions. A^Z is alternatively a basic primary or secondary nitrogen atom. X^Z is a leaving group, preferably halogen. When A^Z is oxygen, preferred reaction conditions comprise treating V with sodium hydride followed by addition of VI. When A^Z is sulfur preferred reaction conditions comprise reacting V with VI in the presence of a tertiary amine base such as ethyldiisopropylamine. When A^Z is $-\text{CHR}^7-$, preferred reaction conditions comprise treating V with potassium *tert*-butoxide in dimethylformamide at low temperature. When A^Z is a basic nitrogen atom, no base is required.

Scheme 6

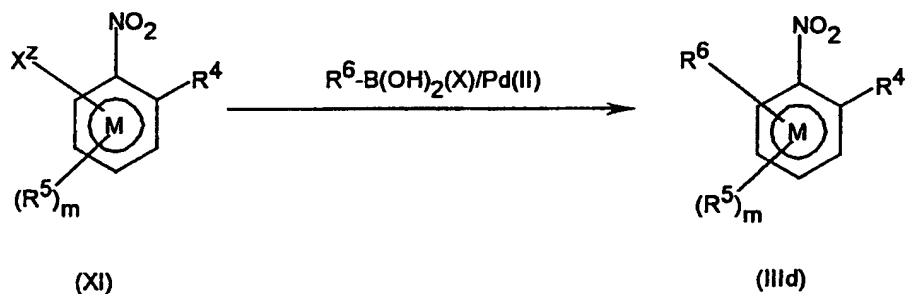
Compounds of formula IIIb, i.e. compounds of general formula III where A is a group A^W , may be prepared by reacting compounds of formula VII with compounds of formula VIII according to reaction scheme 7. A^W is a group which, in compound VII, forms an anion under basic conditions. X^W is a leaving group, preferably halogen. Preferred basic conditions comprise reaction of VII with potassium carbonate or sodium hydride followed by addition of VIII.

10 Scheme 7

Compounds of formula IIIc, i.e. compounds of general formula III where A is O, may be prepared by reacting compounds of formula IX with boronic acids of formula X according to Scheme 8. Preferred reaction conditions comprise reaction with copper acetate and triethylamine.

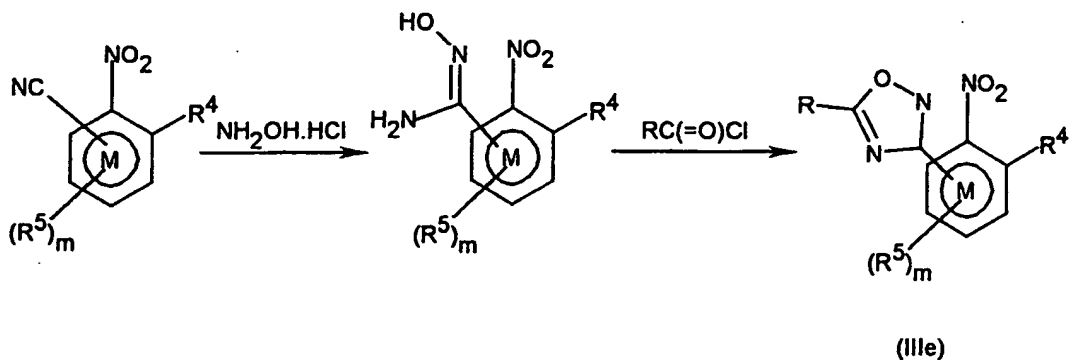
Scheme 8

- Compounds of formula III d, i.e. compounds of formula III where A is a direct bond
 5 may be prepared according to reaction scheme 9 from compounds of formula XI
 where X^Z is a leaving group, preferably halogen.

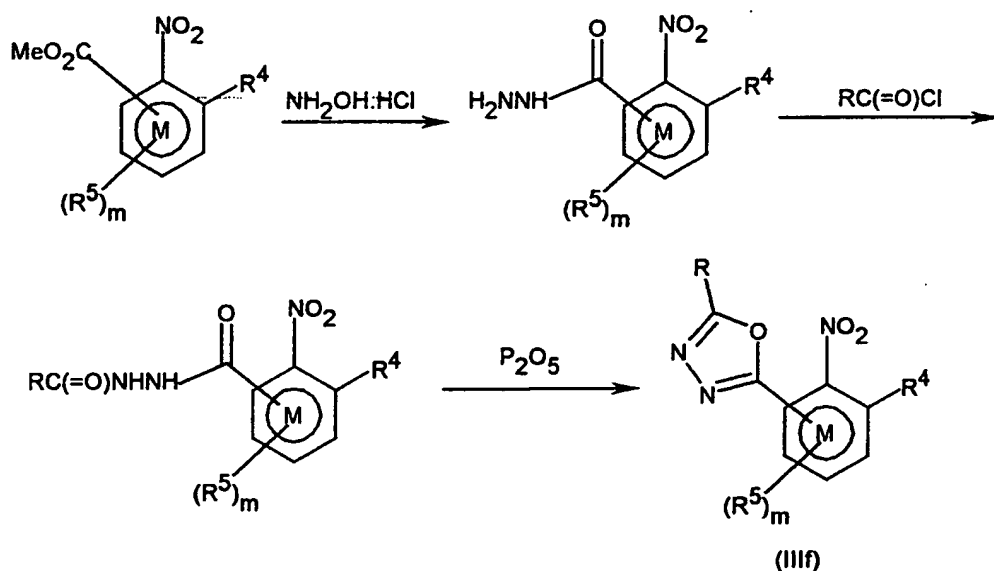
Scheme 9

- 10 Compounds of formula III where A is a direct bond and R⁶ is a heterocyclyl can be
 prepared using a variety of methods known to a skilled chemist (for example see
 "Comprehensive Heterocyclic Chemistry", Vols 1-7, A. R. Katritzky and C. W.
 Rees). By way of example, routes to compounds of formula III containing a 1,2,4-
 oxadiazol-3-yl group (compound III e) and a 1,3,4-oxadiazol-2-yl group (compound
 15 III f) are shown in schemes 10 and 11.

Scheme 10



Scheme 11

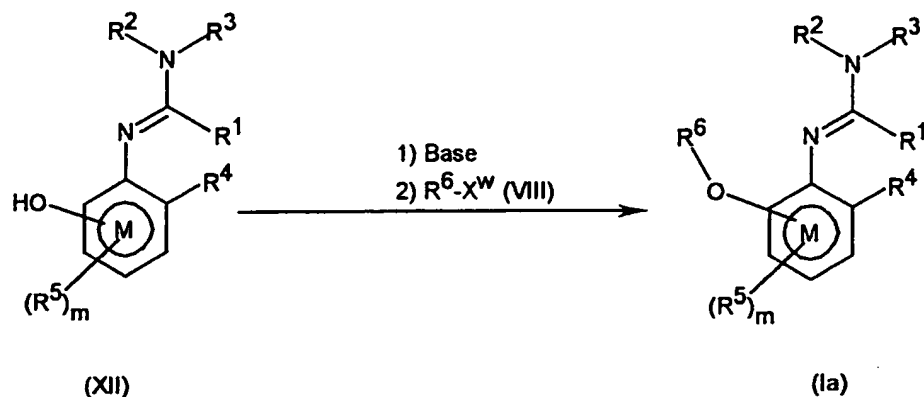


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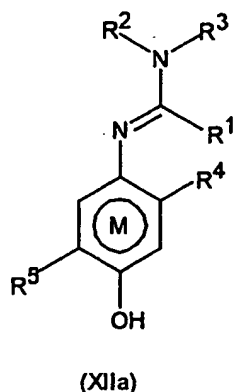
Alternatively, using similar chemistry to that described above, compounds of formula I can be prepared by introducing R⁶ after formation of the amidine moiety.

- 10 In particular, we have found that treating compounds of formula XII to the reaction conditions of Scheme 7 gives compounds of formula Ia, i.e. compounds of general formula I where A is oxygen, in particularly high yield (see Scheme 12). Compounds of formula XII may be prepared by methods similar to those described in *Tetrahedron Letters*, 38 (31) 5403-5406.

Scheme 12



- Some compounds of general formula XII are novel, therefore according to a third aspect the invention provides compounds of general formula XIIa,



where

- R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;
- 10 R^2 and R^3 , which may be the same or different, are any group defined for R^1 ; cyano; acyl; $-\text{OR}^{\text{a}}$ or $-\text{SR}^{\text{a}}$, where R^{a} is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R^2 and R^3 , or R^2 and R^1 , together with their interconnecting atoms may form a ring, which may be substituted;
- 15 R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; and
- R^5 is any group defined for R^4 ;
- with the proviso that R^5 is not *tert*-butyl.

Other methods will be apparent to the chemist skilled in the art, as will be methods for preparing starting materials and intermediates.

In addition, compounds of the invention may be prepared using combinatorial
5 chemistry methodology.

The invention is illustrated in the following Examples. Structures of isolated, novel compounds were confirmed by N.M.R. and/or other appropriate analyses. Proton N.M.R. spectra (^1H N.M.R.) were determined in deuteriochloroform and chemical
10 shifts (δ) are quoted in parts per million downfield of tetramethylsilane.

Example 1

N,N-Dimethyl-*N'*-[4-(3-trifluoromethylbenzylthio)-2,5-xylyl]formamidine (Compound 3)

15 The product from stage b) (1.0 g) and *N,N*-dimethylformamide dimethylacetal (1.0 ml) were heated at 100 °C for 4 hours. On cooling the mixture was purified by silica gel chromatography eluting with diethyl ether to give the title compound, ^1H N.M.R. δ (ppm) 2.15 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.95 (s, 2H, SCH_2).

20

Preparation of Starting Materials

a) 2-Nitro-5-(3-trifluoromethylbenzylthio)-*p*-xylene

A mixture of 3-trifluoromethylbenzyl mercaptan (3.42 g), diisopropylethylamine (2.3 g) and 3-chloro-6-nitro-*p*-xylene (3.0 g) in dry *N*-methylpyrrolidinone (20 ml) was heated at 130°C for 6 hours. On cooling,
25 the mixture was poured into ice-water and the resulting mixture was filtered to give a solid which was washed with ice-water and then air dried. The solid was purified by silica gel chromatography eluting with light petroleum (60-80°C)/ethyl acetate (9:1) to give the title product as a solid,
30 m.p. 85-7°C.

b) 4-(3-Trifluoromethylbenzylthio)-2,5-xylidine

To a stirred mixture of stannous chloride (10.8 g) in concentrated hydrochloric acid (24 ml) and ethanol (50 ml) was added the product from

stage a) above (2.46 g) and the mixture was heated at 75 °C for 2 hours. On cooling potassium hydroxide solution was added slowly with cooling. The mixture was extracted with diethyl ether (x3) and the combined extracts were washed with brine, dried (MgSO₄), filtered and evaporated to dryness to give a crude residue which was purified by silica gel chromatography eluting with light petroleum (b.p. 60-80 °C)/ethyl acetate (3:1) to give the title product, m.p. 58-60 °C.

Example 2

10 *N,N*-Diethyl-*N'*-[4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine (Compound 37)

Under an atmosphere of nitrogen, phosphorous oxychloride (2.18 g) in dry diethyl ether (3 ml) was added dropwise to a stirred solution of *N,N*-diethylformamide (1.43 g) in dry diethyl ether (3 ml) and stirring continued for 20 minutes. Stirring was stopped and the mixture allowed to form two layers. The upper ether layer was removed by decanting, and the lower layer was washed with diethyl ether (x3). The product from stage b) (2 g) in dry diethyl ether (4 ml) was then added dropwise. After addition the mixture was stirred vigorously for 1 hour at room temperature. The upper ether layer was removed by decanting and the lower layer was washed with ether (x2). The lower layer was poured into water and the mixture adjusted to pH 9 with sodium carbonate solution. The mixture was extracted with diethyl ether (x3) and the combined extracts were dried (MgSO₄), filtered and evaporated to dryness to give a crude oil which was purified by silica gel chromatography eluting with diethyl ether to give the title compound, ¹H N.M.R. δ(ppm) 1.20 (t, 6H, CH₂CH₃), 2.10 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃), 3.30-3.50 (br, 4H, CH₂CH₃).

Preparation of Starting materials

a) 2-Nitro-5-(3-trifluoromethylphenoxy)-*p*-xylene
30 To a suspension of sodium hydride (0.4 g of 60% in oil) in dry *N*-methylpyrrolidinone (10 ml) was slowly added 3-trifluoromethylphenol (1.62 g). When effervescence had ceased, 3-chloro-6-nitro-*p*-xylene (1.85 g) was added and the mixture stirred at 120-40 °C for 5 hours. On cooling, the mixture was poured into water and the mixture extracted with diethyl ether

(x3). The combined ether extracts were dried (MgSO₄), filtered and evaporated to give the title compound as a solid, m.p. 68-71 °C.

b) 4-(3-Trifluoromethylphenoxy)-2,5-xylidine

- 5 This compound was prepared in similar fashion to the product from Example 1, stage b).

Example 3

N-Ethyl-N-methyl-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylidyl]formamidine

10 (Compound 45)

A mixture of the product from Example 4 (1 g) and methylethylamine (0.885 g) in acetonitrile (20 ml) was stirred at room temperature for 1.5 hours. The solvent was removed *in vacuo* and water added. The mixture was extracted with diethyl ether (x3) and the combined ether extracts dried (MgSO₄), filtered and evaporated
15 to dryness. The crude residue was purified by silica gel chromatography eluting with ethyl acetate/light petroleum (b.p. 40-60 °C) (4:6) to give the title compound, ¹H N.M.R. δ(ppm) 1.20 (t, 3H, CH₂CH₃), 2.10 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃), 3.00 (s, 3H, NCH₃), 3.40 (br, 2H, NCH₂).

20 Example 4

N-Cyano-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylidyl]formamidine

(Compound 44)

- To a solution of the product from Example 2 stage b) (2 g) in ethanol (5 ml) was added dropwise ethyl cyanoimidate (0.7 g) at room temperature and stirring
25 continued for 2 hours at room temperature. The ethanol was removed *in vacuo* to give a crude residue which was purified by trituration with light petroleum (b.p. 40-60 °C) followed by silica gel chromatography eluting with ethyl acetate/light petroleum (b.p. 40-60 °C) (4:6) to give the title product, m.p. 138-40 °C.

30 Example 5

N,N-Dimethyl-N'-[4-(3-phenyl-1,2,4-thiadiazol-5-yloxy)-2,5-xylidyl]formamidine

(Compound 48)

To a suspension of the starting material (see below) (0.57 g) in dimethylformamide (10 ml) was added potassium carbonate (0.62 g) and the solution stirred at room

- temperature for 40 minutes. 5-Bromo-3-phenyl-1,2,4-thiadiazole (0.72 g) was added and the mixture stirred at 60 °C for 3 hours. On cooling the mixture was poured into water (150 ml) and extracted with diethyl ether (3x70 ml). The combined ether extracts were washed with water (20 ml), dried (MgSO₄), filtered and evaporated to dryness to give a crude solid which was purified by silica gel chromatography eluting with diethyl ether to give the title compound as a solid, m.p. 100-5 °C.

Preparation of Starting Materials

- 10 *N,N*-Dimethyl-*N'*-(4-hydroxy-2,5-xylyl)formamidine

This compound was prepared from 4-amino-2,5-dimethylphenol in similar fashion to Examples 1, 2 or 3, m.p. 212 °C.

Example 6

- 15 *N,N*-Dimethyl-*N'*-(4-(3-trifluoromethylphenoxy)-2,6-xylyl)formamidine
(Compound 20)

This compound was prepared from the product of stage b) below and dimethylformamide dimethylacetal according to the method of Example 1, ¹H N.M.R. δ(ppm) 2.15 (s, 6H, ArCH₃), 3.00 (s, 6H, N(CH₃)₂).

20

Preparation of starting materials

- a) 2-Nitro-5-(3-trifluoromethylphenoxy)-*m*-xylene

- A mixture of 3,5-dimethyl-4-nitrophenol (1.67 g), 3-trifluoromethylbenzene boronic acid (3.8 g), copper (II) acetate (1.82 g) and triethylamine (2.02 g) in dichloromethane (50 ml) was stirred at room temperature for 48 hours. The mixture was evaporated to dryness and purified by silica gel chromatography eluting with light petroleum (b.p. 60-80 °C)/ethyl acetate (19:1) to give the title product as an oil.

- 30 b) 4-(3-Trifluoromethylphenoxy)-2,6-xylidine

This compound was prepared from the product of stage a) above according to the method of Example 1, stage b).

Example 7*N,N*-Dimethyl-*N'*-[6-bromo-4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine
(Compound 12)

The title product was prepared from the product of stage c) below and
5 dimethylformamide dimethylacetal according to Example 1, ^1H N.M.R. $\delta(\text{ppm})$
2.17 (s, 3H, ArCH_3), 2.22 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$).

Preparation of Starting Materialsa) 2-Nitro-5-(3-trifluoromethylphenoxy)-*p*-xylene

10 The title product was prepared from 2,5-dimethyl-4-nitrophenol and 3-
trifluoromethylbenzene boronic acid according to Example 6, stage a).

b) 4-(3-Trifluoromethylphenoxy)-2,5-xylidine

The title product was prepared from the product of stage a) according to
15 Example 1, stage b).

c) 6-Bromo-4-(3-trifluoromethylphenoxy)-2,5-xylidine

To a stirred solution of the product from stage b) above (1.12 g) in
dichloromethane (20 ml) was added dropwise bromine (0.64 g) in
20 dichloromethane (5 ml) at 0 °C. The mixture was washed with sodium
bicarbonate solution, dried (MgSO_4), filtered and evaporated to give a
crude oil which was purified by silica gel chromatography eluting with ethyl
acetate/ light petroleum (b.p. 60-80 °C) (1:4) to give the title product.

25 Example 8*N,N*-Dimethyl-*N'*-[4-(3-trifluoromethylphenyl)-2,5-xylyl]formamidine
(Compound 53)

The title product was prepared from the product of stage c) below and
dimethylformamide dimethylacetal according to Example 1, ^1H N.M.R. $\delta(\text{ppm})$
30 2.00 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$).

Preparation of Starting Materialsa) N-(4-Bromo-2,5-xylyl)pivalamide

5 To a solution of 4-bromo-2,5-xylidine (8 g) in pyridine (60 ml) was added pivaloyl chloride (4.7 ml) at room temperature. After 30 minutes, the mixture was poured into dilute hydrochloric acid/ice solution. The precipitate was filtered and washed with water to give the title product.

b) N-(4-(3-Trifluoromethylphenyl)-2,5-xylyl)pivalamide

10 To a solution of the product of stage a) (9.1 g) in dimethoxyethane (14 ml) was added triphenylphosphinepalladium (II) chloride (catalytic amount) and stirred for 10 minutes. 3-Trifluoromethylphenylboronic acid (6.03 g), sodium bicarbonate (8.1 g) and water (102 ml) were added and the mixture heated under reflux for 4 hours. On cooling 1N sodium hydroxide solution (94 ml)
15 was added the mixture extracted with ethyl acetate. The organic extracts were washed with saturated sodium chloride solution, dried (MgSO₄) and concentrated to give the title product.

c) 4-(3-Trifluoromethylphenyl)-2,5-xylidine

20 The product from stage b) (10.4 g) in glacial acetic acid (36 ml) was treated with hydrochloric acid (24.5 ml of 15% solution) at 70 °C. The mixture was stirred for 3 days at 100 °C. On cooling, water was added and the mixture extracted with ethyl acetate. The organic phase was washed with sodium bicarbonate solution, dried (MgSO₄) and concentrated to give
25 the title product.

Example 9N,N-Dimethyl-N'-[4-(3-trifluoromethylbenzyl)-2,5-xylyl]formamidine(Compound 264)

30 The title compound was prepared from the product of stage d) below in similar fashion to Example 1, m.p. 75-7 °C.

Preparation of Starting Materialsa) 2-Nitro-5-(α -cyano-3-trifluoromethylbenzyl)-*p*-xylene

The title product was prepared from 2-chloro-5-nitro-*p*-xylene and 3-trifluoromethylbenzyl cyanide according to the methodology in J. Med.Chem., 40, 3942 (1997).

b) 2-Nitro-5-(3-trifluoromethylbenzoyl)-*p*-xylene

Potassium *tert*-butoxide (1.12 g) was added to a solution of the product from stage a) (3.3 g) in dry dimethylformamide (30 ml) at 0 °C and stirred at 0 °C for 5 minutes. Hydrogen peroxide (3.5 ml, 30%) was added dropwise and stirring continued for 6 hours at approximately 3 °C. The reaction mixture was allowed to stand at room temperature for 2 days. The reaction mixture was poured into dilute hydrochloric acid (500 ml), and sodium metabisulfite was added. The mixture was extracted with diethyl ether (2x200 ml), washed with water (2x20 ml), dried (MgSO₄) and concentrated to give a crude product. Trituration from light petroleum (b.p. 40-60 °C) gave a solid which was recrystallised from diisopropyl ether to give the title product.

c) 2-Nitro-5-(3-trifluoromethylbenzyl)-*p*-xylene

To a solution of the product of stage b) (1 g) in dichloromethane (20 ml) at 0 °C was added a solution of trifluoromethane sulfonic acid (0.6 ml) in dichloromethane (10 ml). Triethylsilane (0.8 ml) in dichloromethane (10 ml) was added and stirred at 0 °C for 10 minutes. A further portion of trifluoromethane sulfonic acid (0.6 ml) was added followed by a further portion of triethylsilane (0.8 ml). The mixture was allowed to warm to room temperature and stirred for 1 hour. The mixture was poured into saturated sodium bicarbonate solution (100 ml) and the inorganic solid filtered. The layers were separated and the aqueous layer extracted with dichloromethane (2x50 ml). The combined organic layers were evaporated and recrystallised to give a solid, m.p. 75-7 °C.

d) 4-(3-Trifluoromethylbenzyl)-2,5-xylidine

The title compound was prepared from the product of stage c) according to Example 1 stage b).

Example 10*N,N*-Dimethyl-*N'*-[4-(4-fluorobenzamido)-2,5-xylyl]formamidine(Compound 98)

- 5 The title compound was prepared from the starting material in similar fashion to Example 1, m.p. 166-8 °C.

Preparation of Starting Materials*N*-(4-Amino-2,5-xylyl)-4-fluorobenzamide

- 10 To a solution of p-xylylenediamine (3.0 g) and ethyldiisopropylamine (3.8 ml) in dichloromethane (300 ml) at 0 °C, was added dropwise 4-fluorobenzoyl chloride (3.5 g). The mixture was warmed to room temperature and stirring continued for 4 hours. Water (200 ml) was added and the mixture was stirred for 30 minutes. The mixture was filtered, washing through with water and dichloromethane. The
15 organic phase was washed with water, dried (MgSO₄) and evaporated to give a solid, m.p. 174-6 °C.

Example 11*N,N*-Dimethyl-*N'*-(4-phthalimido-2,5-xylyl)formamidine

20 (Compound 80)

The title compound was prepared from the starting material in similar fashion to Example 1, m.p. 170-3 °C.

Preparation of Starting Materials

25 *N*-(4-Amino-2,5-xylyl)phthalimide

To a solution of p-xylylenediamine (1.0 g) in *N*-methylpyrrolidinone was added phthalic anhydride (1.1 g) in *N*-methylpyrrolidinone (10 ml). The mixture was heated at 150 °C for 4 hours. On cooling, the mixture was poured into water and then filtered. The cake was washed with water and dried, m.p. 167-9 °C.

30

Example 12*N,N*-Dimethyl-*N'*-[4-(2,5-dimethyl-1-pyrrolyl)-2,5-xylyl]formamidine(Compound 79)

The title compound was prepared from stage c) below in similar fashion to

- 35 Example 1, m.p. 90-1 °C.

Preparation of Starting Materialsa) *N*-(4-Amino-2,5-xylyl)acetamide

5 To a solution of p-xylenediamine (2.0 g) in dichloromethane (200 ml) maintained below 10 °C was added *N,N*-diisopropylamine (2.52 ml) followed by the dropwise addition of acetylchloride (1.15 g). The mixture was allowed to attain room temperature and stirred overnight. Water (120 ml) was added and the suspension filtered. The filtered solid was washed with dichloromethane (2 x100 ml) and water (100 ml). All filtrates were
10 combined and the organic layer separated. The organic layer was washed with water, dried (MgSO₄) and evaporated to give the title product as a solid, m.p. 133-5 °C.

b) *N*-[4-(2,5-Dimethyl-1-pyrrolyl)-2,5-xylyl]acetamide

15 The product from stage a) 1.0 g) was added to acetonylacetone (0.7 ml) and the mixture heated at 140 °C for 4 hours. On cooling, the mixture was dissolved in dichloromethane and the solution filtered through (MgSO₄). Concentration gave a crude product which was purified by silica gel chromatography eluting with diethyl ether, to give the title product.

20

c) 2,5-Dimethyl-4-(2,5-dimethyl-1-pyrrolyl)aniline

A mixture of the product from stage b) (0.6 g), aqueous sodium hydroxide solution (10 ml, 10%) and ethanol (30 ml) was heated under reflux for 24 hours. On cooling, the mixture was extracted with diethyl ether (x2). The
25 combined diethyl ether extracts were water washed, dried (MgSO₄) and concentrated to give a crude product. Filtration through silica gave the title product.

Example 1330 *N,N*-Dimethyl-*N'*-[4-(2-benzo[b]thiophenyl)-2,5-xylyl]formamidine
(Compound 187)

The title compound was prepared from stage b) below in similar fashion to Example 1, m.p. 67-8 °C.

Preparation of Starting Materialsa) 2-(4-Nitro-2,5-xylyl)benzo[b]thiophene

A mixture of 2-bromo-5-nitro-p-xylene (200 g), 2-benzo[b]thiopheneboronic acid (200 g), $(\text{Ph}_3\text{P})_4\text{Pd}$ (0.36 g) in toluene (60 ml) and ethanol (22 ml) was heated to reflux overnight. Ethyl acetate and water were added and the organic phase was separated. The organic phase was washed with water, dried (MgSO_4) and filtered through a pad of silica to give the title product.

b) 2,5-Dimethyl-4-(2-benzo[b]thiophenyl)aniline

The title compound was prepared from the product of stage a) above according to Example 1 stage b).

Example 1415 *N,N*-Dimethyl-*N'*-(4-[5-(4-chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-tolyl)formamidine (Compound 179)

The title compound was prepared from the product of stage c) below according to Example 1, m.p. 136-7 °C.

20 Preparation of Starting Materialsa) 3-Methyl-4-nitrobenzamide oxime

To a solution of 3-methyl-4-nitrobenzonitrile (5 g) in ethanol (100 ml) at room temperature was added hydroxylamine hydrochloride (2.25 g) followed by triethylamine (4.5 ml). The mixture was heated under reflux for 2.5 hours. On cooling the mixture was evaporated to a third of its original volume and poured on to water (200 ml). The mixture was filtered to give the title product as a solid, m.p. 127-9 °C.

b) 5-(4-Chlorophenyl)-3-(3-methyl-4-nitrophenyl)-1,2,4-oxadiazole

To a solution of the product from stage a) (1.9 g) and triethylamine (1.62 ml) in dichloromethane (50 ml) at room temperature was added 4-chlorobenzoyl chloride (2.05 g). The mixture was stirred at room temperature for 2 hours and then washed with water. Toluene (100 ml) was added and the mixture was heated under Dean and Stark conditions for 5 hours. On cooling the mixture was filtered and concentrated.

Trituration with diisopropylamine and light petroleum (b.p. 40-60 °C) gave the title product, m.p. 145-7 °C.

c) 4-[5-(4-Chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-methylaniline

5 The title compound was prepared from the product of stage b) according to Example 1 stage b).

Example 15

N,N-Dimethyl-*N'*-[4-(5-*tert*-butyl-1,3,4-oxadiazol-2-yl)-2-methylphenyl]formamidine

10 (Compound 211)

The title compound was prepared from the product of stage c) below according to Example 1, m.p. 79-80 °C.

Preparation of Starting Materials

15 a) *N*-(3-Methyl-4-nitrobenzoyl)-*N'*-pivalolyhydrazine

To a solution of 3-methyl-4-nitrobenzoylhydrazine (3.9 g) in dichloromethane (100 ml) was added triethylamine (3.06 ml) followed by pivaloyl chloride (2.6 ml). The mixture was stirred at room temperature for 2 hours. The mixture was washed with water, dried (MgSO₄) and concentrated. Trituration with light petroleum (b.p. 60-80 °C) gave the title product, m.p. 125-7 °C.

b) 5-*tert*-Butyl-2-(3-methyl-4-nitrophenyl)-1,3,4-oxadiazole

The product prepared in stage a) (5.0 g) was stirred in toluene (200 ml) and treated with phosphorous pentoxide (10 g). The mixture was heated under reflux for 2 hours and then poured onto ice-water. The mixture was extracted with diethyl ether, the extract dried (MgSO₄) and concentrated. The residue was purified by silica gel chromatography eluting with diethyl ether/light petroleum (b.p. 60-80 °C) to give the title product, m.p. 123-5 °C.

c) 2-(4-Amino-3-methyl)-5-*tert*-butyl-1,3,4-oxadiazole

The title compound was prepared from the product of stage b) according to Example 1 stage b).

Example 16

N-Cyano-*N*-methyl-*N'*-[4-(4-chloro-3-trifluoromethylphenoxy)-2-xylyl]formamidine
(Compound 373)

- 5 The product from stage c) (0.4 g) in tetrahydrofuran (10 ml) was treated with sodium hydride (0.05 g). Iodomethane (0.075 ml) was added and the mixture was stirred. The mixture was quenched with water and extracted with dichloromethane. The extracts were dried (MgSO₄) and evaporated. The residue product was purified by silica gel chromatography to give the title product, ¹H-
- 10 N.M.R. δ (ppm) 2.10 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃) and 3.35 (s, 3H, NCH₃).

Example 17

N-Cyano-*N'*-[4-(4-chloro-3-trifluoromethylphenoxy)-2,5-xylyl]formamidine

- 15 (Compound 397)

The title compound was prepared according to Example 4 from the product of stage b) above, m.p. 111-4 °C.

Preparation of Starting Materials

- 20 a) 2-Nitro-5-(4-chloro-3-trifluoromethylphenoxy)-*p*-xylene

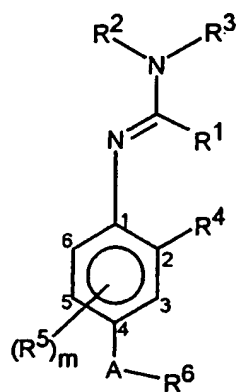
The title compound was prepared according to Example 2 stage a).

- b) 4-(4-Chloro-3-trifluoromethylphenoxy)-2,5-xylidine

- 25 The title compound was prepared according to Example 1 stage b) from the product of stage a) above.

- The following compounds of formula Ia (see Table 1), i.e. compounds of general formula I where -A-R⁶ is para to the amidine moiety, may be prepared by methods analogous to those of Examples 1 to 17. Where the moiety depicted on
- 30 the right side of linkage A is attached to R⁶;

34



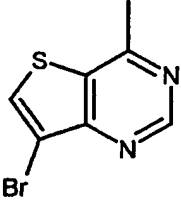
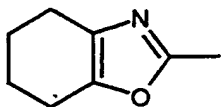
(1a)

Table 1

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
1	H	Me	Me	Me	5-Me	O	3-CF ₃ -phenyl	49-50
2	Me	Me	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
3	H	Me	Me	Me	5-Me	-SCH ₂ -	3-CF ₃ -phenyl	oil
4	H	Me	Me	Me	5-Me	S	3-CF ₃ -phenyl	oil
5	Me	Me	Me	Me	5-Me	-SCH ₂ -	3-CF ₃ -phenyl	oil
6	Me	Me	Me	Me	5-Me	S	3-CF ₃ -phenyl	oil
7	H	Me	Me	Me	5-Me	O	3-Cl-phenyl	oil
8	H	Me	Me	Me	5-Me	O	3-Bu ^t -phenyl	69-71
9	H	Me	Me	Me	5-Me	O	4-tolyl	oil
10	Me	Me	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	oil
11	H	Me	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	50-4
12	H	Me	Me	Me	5-Me, 6-Br	O	3-CF ₃ -phenyl	oil
13	H	Me	Me	Me	-	O	3-CF ₃ -phenyl	oil
14	H	Me	Me	CF ₃	-	O	3-CF ₃ -phenyl	oil
15	H	Me	Me	Br	5-OMe	O	3-CF ₃ -phenyl	68-70
16	H	Me	Me	Me	5-Me	-OCH(Me)-	3-CF ₃ -phenyl	97-9
17	H	Me	Me	Me	5-Me	-OCH ₂ -	3-PhO-phenyl	oil
18	H	Me	Me	Br	3-Me,6- Br	O	3-CF ₃ -phenyl	oil
19	H	Me	Me	Br	5-Me	O	3-CF ₃ -phenyl	oil

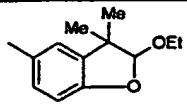
Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
20	H	Me	Me	Me	6-Me	O	3-CF ₃ -phenyl	oil
21	H	Me	Me	Me	5-Pr ⁱ	O	3-CF ₃ -phenyl	oil
22	H	Me	Me	Me	5-Me	O	2-biphenyl	oil
23	H	Me	Me	Me	5-Me	O	3-F-phenyl	oil
24	H	Me	Me	Me	5-Me	O	4-CF ₃ -phenyl	oil
25	H	Me	Me	Me	5-Me	O	2-CF ₃ -phenyl	oil
26	H	Me	Me	Me	5-Me	O	3,4-diMeO-phenyl	oil
27	H	Me	Me	Me	5-Me	O	2-MeO-phenyl	oil
28	H	Me	Me	Me	5-Me	O	3-PhO-phenyl	oil
29	H	Me	Me	Me	5-Me	O	3-CN-phenyl	oil
30	H	Me	Me	Me	5-Me	O	benzoxazol-2-yl	107-9
31	H	Me	Me	Me	5-Me	O	2,6-xylyl	oil
32	H	Me	Me	Me	5-Me	O	3,4-diCl-phenyl	oil
33	H	Me	Me	Me	5-Me	O	3-EtOC(=O)-phenyl	oil
34	H	Me	Me	Me	5-Me	O	4-tolyl	oil
35	H	-(CH ₂) ₂ O(CH ₂) ₂ -		Me	5-Me	O	3-CF ₃ -phenyl	oil
36	H	H	Me	Me	5-Me	O	3-CF ₃ -phenyl	122-3
37	H	Et	Et	Me	5-Me	O	3-CF ₃ -phenyl	oil
38	H	Pr	Pr	Me	5-Me	O	3-CF ₃ -phenyl	oil
39	H	Bu	Bu	Me	5-Me	O	3-CF ₃ -phenyl	oil
40	H	Pr ⁱ	Pr ⁱ	Me	5-Me	O	3-CF ₃ -phenyl	oil
41	H	-(CH ₂) ₄ -		Me	5-Me	O	3-CF ₃ -phenyl	71-3
42	H	Ph	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
43	H	-(CH ₂) ₅ -		Me	5-Me	O	3-CF ₃ -phenyl	oil
44	H	H	CN	Me	5-Me	O	3-CF ₃ -phenyl	138-40
45	H	Et	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
46	H	Pr	H	Me	5-Me	O	3-CF ₃ -phenyl	44-6
47	H	benzyl	H	Me	5-Me	O	3-CF ₃ -phenyl	121-3
48	H	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	100-5
49	H	Me	Me	Me	5-Me	-OCH(Me)-	3-CF ₃ -phenyl	97-9
50	H	Me	Me	Me	5-Me	O	4-CF ₃ -phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
51	H	Me	Me	Me	5-Me	O	2-CF ₃ -phenyl	oil
52	H	Me	Me	Me	5-Me	O	3-Cl-5-CF ₃ -2-pyridyl	oil
53	H	Me	Me	Me	5-Me	direct bond	3-CF ₃ -phenyl	oil
54	H	Me	Me	Me	5-Me	O	4,6-diMe-pyrimidin-2-yl	95-9
55	H	Me	Me	Me	5-Me	O	3,5-diCl-phenyl	67-9
56	H	Me	Me	Me	5-Me	O	3-MeO-phenyl	oil
57	H	cyHex	H	Me	5-Me	O	3-CF ₃ -phenyl	93-4
58	H	Pr ⁱ	H	Me	5-Me	O	3-CF ₃ -phenyl	62.5-4.5
59	H	Et	H	Me	5-Me	O	3-CF ₃ -phenyl	100-2
60	H	Me	Me	Me	5-Me	-NH-C(=O)-	3,5-diMe-4-oxazolyl	215-8
61	H	Me	Me	Me	5-Me	O	4-Bu ^t -phenyl	95-6
62	H	HO	H	Me	5-Me	O	3-CF ₃ -phenyl	130-1
63	H	MeO	H	Me	5-Me	O	3-CF ₃ -phenyl	59-61
64	H	EtO	H	Me	5-Me	O	3-CF ₃ -phenyl	68-9
65	H	Me	Me	Me	5-Me	O	phenyl	oil
66	H	Me	Me	Me	5-Me	O	3-Cl-1,2,4-thiadiazol-5-yl	120-2
67	H	Me	Me	Pr ⁱ	5-Me	O	3-CF ₃ -phenyl	oil
68	H	Me	Me	Me	5-Cl	O	3-Ph-1,2,4-thiadiazol-5-yl	99-101
69	H	Me	Me	Me	5-Me	SO ₂	3-CF ₃ -phenyl	122-3
70	H	Me	Me	Me	5-Me	-N(Me)-C(=O)-	3,5-diMe-isoxazol-4-yl	oil
71	H	Me	Me	Me	3-Me	O	3-CF ₃ -phenyl	oil
72	H	Me	Me	Me	5-Me	O	3-Br-1,2,4-thiadiazol-5-yl	129-31
73	H	Me	Me	Me	5-Me	-NHC(=O)-	phenyl	180-1
74	H	Me	Me	Me	5-Me	-N(Me)C(=O)-	phenyl	oil
75	H	Me	Me	Me	5-Me	direct bond	piperidinyl	93-4
76	H	Me	Me	Me	5-Me	O		124-6
77	H	Me	Me	Me	5-Me	O	7-Cl-4-quinazolinyl	160-2

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
78	H	Me	Me	Me	5-Me	O		170-2
79	H	Me	Me	Me	5-Me	direct bond	2,5-diMe-1-pyrrolyl	90-1
80	H	Me	Me	Me	5-Me	direct bond	phthalimido	170-3
81	H	Me	Me	Me	5-Me	O	5-CF ₃ -1,3,4-thiadiazol-2-yl	oil
82	H	Me	Me	Me	5-Me	O	5-Bu ^t -1,3,4-thiadiazol-2-yl	104-6
83	H	Me	Me	Me	5-Me	O	5-Ph-1,3,4-thiadiazol-2-yl	oil
84	H	Me	Me	Me	5-Me	O	6-Cl-benzthiazol-2-yl	109-11
85	H	Me	Me	Me	5-Me	O	5-NO ₂ -2-thiazolyl	oil
86	H	Me	Me	Me	5-Me	O	5-Ph-2-thiazolyl	111-14
87	H	Me	Me	Me	5-Me	direct bond	morpholino	93-4
88	H	Me	Me	Me	5-Me	O	8-F-4-quinazolinyl	98-100
89	H	Me	Me	Me	5-Me	O	3,6-diNO ₂ -4-coumarinyl	178-81
90	H	Me	Me	Me	5-Me	O	2-F-phenyl	oil
91	H	Me	Me	Me	5-Me	O	4,6-diMeO-1,3,5-triazin-2-yl	82-4
92	H	Me	Me	Me	5-Me	direct bond	3-Et ₂ NC(=O)-1-piperidinyl	oil
93	H	Me	Me	Me	5-Me	S		oil
94	H	Me	Me	Me	5-Me	S	4-(4-Cl-phenyl)-2-oxazolyl	oil
95	H	Me	Me	Me	5-Me	O	4-(4-Cl-phenyl)-2-oxazolyl	oil
96	H	Me	Me	Me	5-Me	O	2-CF ₃ -4-quinazolinyl	119-21
97	H	Me	Me	Me	5-Me	direct bond	4,5-diCl-phthalimido	196-8
98	H	Me	Me	Me	5-Me	-NHC(=O)-	4-F-phenyl	166-8
99	H	CN	H	Me	5-Me	O	3-Bu ^t -phenyl	oil
100	H	Et	Me	Me	5-Me	O	3-Bu ^t -phenyl	oil
101	H	Me	Me	Me	5-Me	O	4-CF ₃ -pyrimidin-2-yl	123-5
102	H	Me	Me	Me	5-Me	direct bond	2,6-diMe-morpholin-4-yl	102-3
103	H	Me	Me	Me	5-Me	O	2-CF ₃ -4-quinolinyl	126-8

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
104	H	Me	Me	Me	5-Me	O	2-tolyl	oil
105	H	Me	Me	Me	5-Me	O	2-Pr ⁱ -phenyl	oil
106	H	Et	H	Me	5-Me	O	3-Bu ^t -phenyl	74-6
107	Et	Me	Me	H	5-Me	O	3-Bu ^t -phenyl	89-91
108	Me	Me	Me	H	5-Me	O	3-Bu ^t -phenyl	oil
109	H	Me	Me	H	5-Me	O	3-Bu ^t -phenyl	oil
110	Et	Me	Me	Me	5-Me	O	3-Bu ^t -phenyl	113-6
111	H	Me	Me	Me	5-Me	direct bond	4-Me-1-piperazinyl	67-8
112	H	Me	Me	Me	5-Me	O	4-(2-thiazolyl)-2-thiazolyl	110-12
113	H	Me	Me	Me	5-Me	O	4-Bu ^t -2-thiazolyl	oil
114	H	Me	Me	Me	5-Me	O	3-(4-Cl-phenyl)-1,2,4-oxadiazol-5-yl	106-8
115	H	Me	Me	Me	5-Me	direct bond	2-Me-5-(3-CF ₃ -phenyl)-1-pyrrolyl	oil
116	H	Me	Me	Me	5-Me	O	3-MeO-1,2,4-thiadiazol-5-yl	99-101
117	H	Me	Me	Me	5-Me	O	3-Me-1,2,4-thiadiazol-5-yl	92-4
118	H	Me	Me	Me	5-Me	O	6-Ph-3-pyridazinyl	86-9
119	H	Me	Me	Me	5-Me	O	3-MeS-1,2,4-thiadiazol-5-yl	oil
120	H	Me	Me	Me	5-Me	O	4-(3-CF ₃ -phenyl)-2-thiazolyl	93-5
121	H	Me	Me	Me	5-Me	S	4-Me-1,2,4-triazol-3-yl	oil
122	H	Me	Me	Me	5-Me	O	3-CN-2-pyrazinyl	128-30
123	H	Me	Me	Me	5-Me	O	3-Bu ^t -1,2,4-thiadiazol-5-yl	oil
124	H	Me	Me	Me	5-Me	O	2-secButyl-phenyl	oil
125	H	Me	Me	Me	5-Me	O	2-biphenyl	oil
126	H	Me	Me	Me	5-Me	O	5-isopropenyl-1,3,4-thiadiazol-2-yl	oil
127	H	Me	Me	Me	5-Me	O	5-Ph-1,3,4-oxadiazol-2-yl	120-2
128	H	Me	Me	Me	5-Me	direct bond	1,2,3,4-tetrahydro-2-isoquinolyl	oil
129	H	Me	Me	Me	5-Me	O	3-NEt ₂ -phenyl	oil
130	H	Me	Me	Me	5-Me	O	4-secButyl-phenyl	oil
131	H	Me	Me	Me	5-Me	O	5-Cl-6-Et-pyrimidin-4-yl	100-1
132	H	Me	Me	Me	5-Me	O	2-CF ₃ -pyrimidin-4-yl	62-3
133	H	Me	Me	Me	5-Me	O	1-Me-5-Cl-6-oxo-pyridazin-4-yl	142-5
134	H	Me	Me	Me	5-Me	O	3-Ph-5-isoxazolyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
135	H	Me	Me	Me	5-Me	O	3-Br-phenyl	oil
136	H	Me	Me	Me	5-Me	O	3-(dimethyl-amino-methylene-amino)phenyl	oil
137	H	Me	Me	Me	5-Me	O	4-Cl-1,2,5-thiadiazol-3-yl	oil
138	H	Me	Me	Me	5-Me	O	3-CF ₃ -1,2,4-thiadiazol-5-yl	oil
139	H	Me	Me	Me	5-Me	O	2-Cl-phenyl	oil
140	H	Me	Me	Me	5-Me	O	2-MeS-5-EtOC(=O)-pyrimidin-4-yl	oil
141	H	Me	Me	Me	5-Me	O	1-naphthyl	oil
142	H	Me	Me	Me	5-Me	O	2-naphthyl	oil
143	Me	Me	Me	Me	5-Me	O	1-naphthyl	oil
144	Me	Me	Me	Me	5-Me	O	2-naphthyl	110-12
145	H	Me	Me	Me	5-Me	O	1-Ph-tetrazol-5-yl	123-6
146	H	Me	Me	Me	5-Me	O	1,1-dioxo-benzothiazol-3-yl	177-8
147	H	Me	Me	Me	5-Me	direct bond	2-benzo[b]-furanyl	90-1
148	H	Me	Me	Me	5-Me	O	6-Ph-pyrimidin-4-yl	oil
149	H	Me	Me	Me	5-Me	O	4-Pr ⁱ -phenyl	oil
150	H	Me	Me	Me	5-Me	O	3-acetylphenyl	oil
151	H	Me	Me	Me	5-Me	O	4-(1,1,3,3-tetramethyl-butyl)phenyl	oil
152	H	Me	Me	Me	5-Me	O	3-Pr ⁱ -phenyl	oil
153	H	Me	Me	Me	5-Me	-OC(=O)-	3,4-diCl-phenyl	oil
154	H	Me	Me	Me	5-Me	-OC(=O)-	4-hexylphenyl	oil
155	H	Me	Me	Me	5-Me	-OC(=O)-	2,6-xylyl	oil
156	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	4-Cl-phenyl	oil
157	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	phenyl	oil
158	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	3-MeO-phenyl	oil
159	H	Me	Me	Me	5-Me	-OC(=O)-	2,6-diCl-phenyl	oil
160	H	Me	Me	Me	5-Me	-OC(=O)-	3-Cl-2-benzo[b]-thiophenyl	oil
161	H	Me	Me	Me	5-Me	-OC(=O)-	cyclohexyl	oil
162	H	Me	Me	Me	5-Me	-OC(=O)-	2,4-diCl-phenyl	oil
163	H	Me	Me	Me	5-Me	-OC(=O)-	2-CF ₃ -phenyl	oil
164	H	Me	Me	Me	5-Me	-OC(=O)-	2,3-diCl-phenyl	oil
165	H	Me	Me	Me	5-Me	-OC(=O)-	3,5-diMe-isoxazol-4-yl	oil
166	H	Me	Me	Me	5-Me	-OC(=O)-	4-Me-1,2,3-thiadiazol-5-yl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p. °C
167	H	Me	Me	Me	5-Me	-OC(=O)-	2-F-3-CF ₃ -phenyl	oil
168	H	Me	Me	Me	5-Me	-OC(=O)-	3-Cl-2-MeO-5-pyridyl	oil
169	H	Me	Me	Me	5-Me	-OC(=O)-	2-Cl-3-pyridyl	oil
170	H	Me	Me	Me	5-Me	O	4-(<i>tert</i> -pentyl)phenyl	oil
171	H	Me	Me	Me	5-Me	O	3-Et-phenyl	oil
172	Me	Me	Me	Me	5-Me	O	4-(<i>tert</i> -pentyl)phenyl	oil
173	H	Me	Me	Me	5-Me	O	4-Cl-3-Me-phenyl	oil
174	H	Me	Me	Me	5-Me	O	3,4-xylyl	oil
175	H	Me	Me	Me	5-Me	O		94-6
176	H	Me	Me	Me	5-Me	direct bond	2-thienyl	oil
177	H	Me	Me	Me	5-Me	direct bond	5-Cl-2-thienyl	oil
178	H	Me	Me	Me	5-Me	direct bond	3-Cl-2-benzo[b]furanyl	114-5
179	H	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,2,4-oxadiazol-3-yl	136-7
180	H	Me	Me	Me	5-Me	O	4-nonylphenyl	oil
181	H	Me	Me	Me	5-Me	O	4-Et-phenyl	oil
182	H	Me	Me	Me	5-Me	O	4-biphenyl	oil
183	H	Me	Me	Me	5-Me	O	4-Cl-phenyl	oil
184	H	Me	Me	Me	5-Me	O	4-MeS-phenyl	oil
185	H	Me	Me	Me	5-Me	O	4-Br-phenyl	oil
186	H	Me	Me	Me	5-Me	-OCH ₂ -	2-(4-Cl-phenyl)-4-thiazolyl	86-9
187	H	Me	Me	Me	5-Me	direct bond	2-benzo[b]thiophenyl	67-8
188	H	Me	Me	Me	5-Me	O	2-(5,6,7,8-tetrahydro)naphthyl	84-6
189	H	Me	Me	Me	5-Me	O	4-(α,α -diMe-benzyl)phenyl	oil
190	H	Me	Me	Me	5-Me	O	3-CF ₃ O-phenyl	oil
191	Me	Me	Me	Me	5-Me	direct bond	3-Et ₂ NC(=O)-1-piperidinyl	oil
192	H	Me	Me	Me	5-Me	S	phenyl	72-3
193	H	Me	Me	Me	5-Me	O	4-MeO-phenyl	57-8
194	H	Me	Me	Me	5-Me	O	5-CF ₃ -2-benzthiazolyl	106-7
195	H	Me	Me	Me	5-Me	O	4-Cl-2-benzthiazolyl	109-11
196	H	Me	Me	Me	5-Me	O	5-Cl-2-benzthiazolyl	oil
197	H	Me	Me	Me	5-Me	O	2-benzthiazolyl	oil
198	H	Me	Me	Me	5-Me	O	2-Pr ⁱ -5-Me-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
199	Me	Me	Me	Me	5-Me	O	2-Pr ⁱ -5-Me-phenyl	oil
200	H	Me	Me	Me	5-Me	O	4-Cl-3-Et-phenyl	oil
201	Me	Me	Me	Me	5-Me	O	4-Cl-3-Et-phenyl	oil
202	H	Me	Me	Me	5-Me	O	3-Me-4-MeS-phenyl	oil
203	H	Me	Me	Me	5-Me	O	4-benzoylphenyl	oil
204	H	Me	Me	Me	5-Me	O	4-propionylphenyl	oil
205	H	Me	Me	Me	5-Me	O	4-(3-Me-1,2,4-thiadiazol-5-yl)phenyl	109.5-11
206	Me	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	113-4
207	H	Me	Me	Me	H	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
208	H	Me	Me	Me	5-Pr ⁱ	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
209	Me	Me	Me	Me	H	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
210	H	Me	Me	Me	H	direct bond	5-Bu ^t -1,2,4-oxadiazol-3-yl	oil
211	H	Me	Me	Me	H	direct bond	5-Bu ^t -1,3,4-oxadiazol-2-yl	79-80
212	H	Me	Me	Me	5-Me	O	4-acetylphenyl	80-1
213	H	Me	Me	Me	5-Me	O	3-(3-CF ₃ -phenoxy)-phenyl	oil
214	H	Me	Me	Me	5-Me	-CH(CN)-	3-CF ₃ -phenyl	oil
215	H	Me	Me	Me	5-Me	O	4-(4-Cl-phenyl)-2-thiazolyl	oil
216	H	Me	Me	Me	5-Me	O	4-(4-tolyl)-2-thiazolyl	oil
217	H	Me	Me	Me	5-Me	O	4-(4-MeO-phenyl)-2-thiazolyl	oil
218	H	Me	Me	Me	5-Me	O	6-Cl-pyrimidin-4-yl	205-7
219	H	Me	Me	Me	5-Me	O	4-oxo-2-Ph-4H-1-benzopyran-6-yl	oil
220	H	Me	Me	Me	5-Me	O	2-(benzyloxy)phenyl	oil
221	H	Me	Me	Me	5-Me	O	3,4-methylenedioxy-phenyl	oil
222	H	Me	Me	Me	5-Me	O	3,5-xylyl	oil
223	H	Me	Me	Me	5-Me	O	3,5-diMeO-phenyl	oil
224	H	Me	Me	Me	5-Me	O	6-PhO-pyrimidin-4-yl	oil
225	H	Et	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
226	H	Me	Me	Me	5-Me	direct bond	3-Cl-2-benzo[b]thiophenyl	84-6
227	H	CN	H	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
228	H	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,3,4-oxadiazol-2-yl	168-9

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
229	Me	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,3,4-oxadiazol-2-yl	133-5
230	Me	Me	Me	Me	5-Me	O	3-Pr ⁱ -phenyl	oil
231	H	Me	Me	Me	5-Me	-CH(CO ₂ Me)-	3-CF ₃ -phenyl	oil
232	H	Et	H	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
233	Me	Me	Me	Me	H	direct bond	5-Bu ^t -1,3,4-oxadiazol-2-yl	oil
234	H	Me	Me	Me	5-Me	O	3-(4-tolyl)-1,2,4-thiadiazol-5-yl	121-4
235	H	Me	Me	Me	5-Me	O	4-propargyloxyphenyl	oil
236	H	Me	Me	Me	5-Me	O	6-Br-2-pyridyl	oil
237	Me	Me	Me	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
238	Me	Me	Me	Me	5-Me	O	3-Br-phenyl	oil
239	Me	Me	Me	Me	5-Me	O	4-Et-phenyl	oil
240	Me	Me	Me	Me	5-Me	O	4-biphenyl	oil
241	Me	Me	Me	Me	5-Me	O	4-Cl-phenyl	oil
242	Me	Me	Me	Me	5-Me	O	4-MeS-phenyl	oil
243	Me	Me	Me	Me	5-Me	O	4-Br-phenyl	oil
244	Me	Me	Me	Me	5-Me	O	4-benzoylphenyl	oil
245	Me	Me	Me	Me	5-Me	O	4-propionylphenyl	oil
246	H	-(CH ₂) ₅ -		Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
247	H	Me	Me	Me	H	O	5-CF ₃ -1,3,4-thiadiazol-2-yl	oil
248	H	Me	Me	Me	5-Me	O	6-(trimethylsilylethynyl)-2-pyridyl	oil
249	H	Me	Me	Me	5-Me	O	6-ethynyl-2-pyridyl	oil
250	H	Me	Me	Me	5-Me	O	2,4-diCl-phenyl	96-7
251	H	Me	Me	Me	5-Me	O	5-Pr ⁱ -2-Me-phenyl	oil
252	H	Me	Me	Me	5-Me	O	3-(4-Cl-phenyl)-1,2,4-thiadiazol-5-yl	118-22
253	H	Me	Me	Me	5-Me	O	3-(3-NO ₂ -phenyl)-1,2,4-thiadiazol-5-yl	125-8
254	Et	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
255	Et	Me	Me	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
256	H	Me	Me	Me	5-Me	O	4-Pr ⁱ -3-Me-phenyl	oil
257	H	Me	Me	H	H	O	3-Bu ^t -phenyl	oil
258	H	Me	Me	Me	5-Me	O	9-oxo-fluoren-2-yl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
259	H	Me	Me	Me	5-Me	O	3-(3,5-diCF ₃ -phenyl)-1,2,4-thiadiazol-5-yl	112-5
260	H	Me	Me	Cl	H	O	3-Bu ^t -phenyl	oil
261	H	Me	Me	Me	5-Me	O	4-benzyloxyphenyl	oil
262	H	Me	Me	Me	5-Me	O	6-(4-Cl-phenyl)-2-pyridyl	oil
263	H	Me	Me	Me	5-Me	O	4-HO-phenyl	oil
264	H	Me	Me	Me	5-Me	O	3-CF ₃ -benzyl	75-7
265	H	Me	Me	Me	5-Me	O	6-(3-CF ₃ -phenylthio)-pyrimidin-4-yl	oil
266	H	Me	Me	Me	5-Me	O	3-benzyloxyphenyl	oil
267	H	Me	Me	Me	5-Me	-OCH ₂ -	cyclohexyl	oil
268	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ O-	4-Cl-phenyl	oil
269	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ O-	4-Bu ^t -phenyl	oil
270	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	phenyl	oil
271	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ -	phthalimido	oil
272	H	Me	Me	Me	5-Me	-O(CH ₂) ₅ -	phenyl	oil
273	H	Me	Me	Me	5-Me	-O(CH ₂) ₃ O-	4-Bu ^t -phenyl	oil
274	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	4-Bu ^t -phenyl oil	oil
275	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	2-Bu ^t -phenyl	oil
276	H	Me	Me	Me	5-Me	-OCH ₂ -	2-tetrahydropyranyl	oil
277	H	Me	Me	Me	5-Me	-O(CH ₂) ₃ O-	phenyl	oil
278	H	Me	Me	Me	5-Me	-O(CH ₂) ₉ O-	2-tetrahydropyranyl	oil
279	H	Me	Me	Me	5-Me	-OCH ₂ -	2-(1-methoxy-carbonyl-2-methoxyvinyl)phenyl	oil
280	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ -	2-phenylethyl	oil
281	H	Pr	Me	Me	5-Me	O	3-Bu ^t -phenyl	oil
282	H	Bu	Me	Me	5-Me	O	3-Bu ^t -phenyl	oil
283	H	Pr ⁱ	Me	Me	5-Me	O	3-Bu ^t -phenyl	oil
284	H	allyl	Me	Me	5-Me	O	3-Bu ^t -phenyl	oil
285	H	Bu	Et	Me	5-Me	O	3-Bu ^t -phenyl	oil
286	H	Et	Et	Me	5-Me	O	3-Bu ^t -phenyl	oil
287	H	Me	Me	Me	5-Me	O	6-Bu ^t S-pyrimidin-4-yl	oil
288	H	Me	Me	Me	5-Me	O	3,3-diMe-2-EtO-2,3-dihydrobenzofuran-5-yl	oil
289	H	Me	Me	Me	5-Me	O	6-cyHexS-pyrimidin-4-yl	oil
290	H	Me	Me	Me	5-Me	-OCH ₂ -	4-cyHexylmethyloxy-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
291	H	Me	Me	Me	5-Me	O	3-Pr ⁱ O-phenyl	oil
292	H	Me	Me	Me	H	O	2-(2-phenoxy-ethoxy)phenyl	oil
293	H	CN	H	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	152-4
294	H	Me	Me	Cl	H	O	3-CF ₃ -phenyl	oil
295	H	Me	Me	Me	5-Me	O	6-(2-phenylethyl-thio)pyrimidin-4-yl	oil
296	H	Me	Me	Me	5-Me	O	4-(3-CF ₃ -benzyloxy)phenyl	oil
297	H	Me	Me	CF ₃	H	O	3-Bu ^t -phenyl	oil
298	H	Me	Me	Me	5-Me	O	4-(2-Cl-phenyl)thiazol-2-yl	oil
299	H	Me	Me	Me	5-Me	O	4-(3-Cl-phenyl)thiazol-2-yl	122-5
300	H	Me	Me	Me	5-Me	O	4-(4-CF ₃ -phenyl)thiazol-2-yl	123-5
301	H	Me	Me	Me	5-Me	O	3-(3-CF ₃ -benzyloxy)phenyl	oil
302	H	Me	Me	Me	5-Me	O	2-(4-Me-butoxy)phenyl	oil
303	H	Me	Me	Me	5-Me	O	4-Pr ⁱ O-phenyl	oil
304	H	Me	Me	Me	5-Me-6-NO ₂	O	3-Bu ^t -phenyl	oil
305	H	Me	Me	Me	5-Me	O	2-(3-CF ₃ -benzyloxy)phenyl	oil
306	H	Me	Me	Me	H	O	2-(3-CF ₃ -benzyloxy)phenyl	oil
307	H	CN	H	Me	5-Me	O	3-Cl-phenyl	134-5
308	H	CN	H	Me	5-Me	O	4-Pr ⁱ -phenyl	159-60
309	H	CN	H	Me	5-Me	O	3-MeO-phenyl	104-8
310	H	Et	Me	Me	5-Me	O	3-Cl-phenyl	oil
311	H	Et	Me	Me	5-Me	O	4-Pr ⁱ -phenyl	oil
312	H	Et	Me	Me	5-Me	O	3-MeO-phenyl	oil
313	H	Et	Me	Me	5-Me	O	4-(<i>tert</i> -pentyl)phenyl	oil
314	H	Me	Me	Me	5-Me	O	3-(1-Me-undecyloxy)phenyl	oil
315	H	Me	Me	Me	5-Me	O	2-Pr ⁱ O-phenyl	oil
316	H	Me	Me	Me	5-Me	O	3,5-diPr ⁱ -phenyl	oil
317	H	Me	Me	Me	5-Me	O	3-MeO-5-Me-phenyl	oil
318	H	Me	Me	Me	5-Me	O	3,5-diCF ₃ -phenyl	oil
319	H	Me	Me	Me	5-Me	O	2-(1-Me-undecyloxy)phenyl	oil
320	H	Me	Me	Me	H	O	2-(isopentoxy)phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
321	H	Me	Me	Me	H	O	2-Pr ⁱ O-phenyl	oil
322	H	Me	Me	Me	5-Me	O	6-Cl-benzoxazol-2-yl	118-20
323	H	CN	H	Me	5-Me	O	3-PhO-phenyl	oil
324	H	CN	H	Me	5-Me	O	4-Bu ^t -phenyl	oil
325	H	Et	Me	Me	5-Me	O	3-PhO-phenyl	oil
326	H	Et	Me	Me	5-Me	O	4-Bu ^t -phenyl	oil
327	H	Me	Me	Me	5-Me	O	5-Cl-benzoxazol-2-yl	190
328	H	Me	Me	Me	5-Me	O	5-NO ₂ -benzoxazol-2-yl	oil
329	H	allyl	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
330	H	Pr ⁱ	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
331	H	Bu	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
332	H	Me	Me	Me	5-Me	O	3-HO-phenyl	155-7
333	H	CN	H	Me	5-Me	O	3,5-diCl-phenyl	199-201
334	H	Me	Me	Me	5-Me	O	3-(3-Ph-1,2,4-thiadazol-5-yloxy)phenyl	oil
335	H	Et	Me	Me	5-Me	O	3,5-diCl-phenyl	oil
336	H	Me	Me	Me	5-Me	O	5-Br-benzthiazol-2-yl	oil
337	H	Me	Me	Me	5-Me	O	5-(4-CF ₃ -phenyl)benzthiazol-2-yl	131-3
338	H	Me	Me	Me	5-Me	O	5-Ph-benzthiazol-2-yl	107-9
339	H	Me	Me	Me	5-Me	O	5-(4-CF ₃ O-phenyl)benzthiazol-2-yl	138-40
340	H	Me	Me	Me	5-Me	O	3-(isopentoxy)phenyl	oil
341	H	Me	Me	Me	5-Me	O	3-(cyclohexylmethoxy)phenyl	oil
342	H	Me	Me	Me	5-Me	O	3-(4-biphenyl)methoxy-phenyl	oil
343	H	Me	Me	Me	5-Me	O	3-(propargyloxy)phenyl	oil
344	H	Me	Me	Me	5-Me	O	3-(allyloxy)phenyl	oil
345	H	Me	Me	Me	5-Me	O	3-(PhO-ethoxy)phenyl	oil
346	H	Me	Me	Me	5-Me	O	3-(2-thienyl)phenyl	oil
347	H	Me	Me	Me	5-Me, 6-Br	O	3-Bu ^t -phenyl	oil
348	H	Me	Me	Me	5-Me	O	3-(cyclopropylmethoxy)phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
349	H	Me	Me	Me	5-Me	O	3-(phenacyloxy)-phenyl	oil
350	H	Me	Me	Me	5-Me	O	3-(methoxycarbonylmethyl)phenyl	oil
351	H	Me	Me	Me	5-Me	O	4-(3,4-diCl-phenyl)thiazol-2-yl	121-3
352	H	Me	Me	Me	5-Me	O	3-(benzyloxycarbonylmethoxy)phenyl	oil
353	H	Me	Me	Me	5-Me	O	3-(3-Cl-4-F-phenyl)phenyl	oil
354	H	Me	Me	Me	5-Me	O	3-(tetrahydrofuran-2-ylmethoxy)phenyl	oil
355	H	Me	Me	Me	5-Me	O	3-(tetrahydropyran-2-ylmethoxy)phenyl	oil
356	H	Me	Me	Me	5-F	O	3-Ph-1,2,4-thiadiazol-5-yl	67-9
357	H	Me	Me	Me	5-Me	O	4-(4-Cl-benzoyl)phenyl	oil
358	H	Me	Me	Me	5-Me	O	3-[1-(ethoxycarbonyl)ethoxy]phenyl	oil
359	H	Me	Me	Me	5-Me	O	3-(2,2,2-trifluoroethoxy)phenyl	oil
360	H	Me	Me	Me	5-Me	O	3-(4-CN-butoxy)phenyl	oil
361	H	Me	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
362	H	Me	Me	Me	5-Me	O	5-CF ₃ -benzthiazol-2-yl	oil
363	H	Me	Me	Me	5-F	O	3-CF ₃ -phenyl	oil
364	H	Et	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
365	H	Me	Me	Me	5-Me	O	4-F-3-CF ₃ -phenyl	oil
366	H	Me	Me	Me	5-Me	O	3-iodo-phenyl	oil
367	H	Me	Me	Me	5-Me	O	3-acetoxyphenyl	oil
368	H	Me	Me	Me	5-Me	O	5-CF ₃ -benzthiazol-2-yl	oil
369	H	Me	Me	Me	5-Me	O	3-(4,6-diMe-pyrimidin-2-yloxy)phenyl	oil
370	H	Me	Me	Me	5-Me	O	3-Bu ^l -phenyl	oil
371	H	Me	Me	Me	5-Me	O	3-(1-benzoyl-1-methylethoxy)phenyl	oil
372	H	Me	Me	Me	5-Me	O	3-(1-ethoxycarbonyl-2-methylprop-1-yloxy)phenyl	oil
373	H	CN	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
374	H	Et	CN	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
375	H	Ac	CN	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
376	H	Me	Me	Me	5-Me	O	3-(1-acetylethoxy)-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
377	H	Me	Me	Me	5-Me	O	3-(1-ethylpropoxy)-phenyl	oil
378	H	Me	Me	Me	5-Me	O	3-cyclopentylphenyl	oil
379	H	Me	Me	Me	5-Me	O	3-(3,5-diCl-2-pyridyloxy)phenyl	oil
380	H	Me	Me	Me	5-Me	O	3-[ethoxycarbonyl-(<i>N</i> -methoxyimino)-methoxy]phenyl	oil
381	H	Me	Me	Me	5-Me	O	4-(2-CF ₃ -benzoyl)phenyl	oil
382	H	Me	Me	Me	5-Me	O	3-hexylphenyl	oil
383	H	Me	Me	Me	5-Me	O	5-Ph-thiazol-2-yl	oil
384	H	Me	Me	Me	5-Me	O	3-(2,2-dimethoxyethoxy)phenyl	oil
385	H	Me	Me	Me	5-Me	O	3-(2,2-diethoxyethoxy)-phenyl	oil
386	H	Me	Me	Me	5-Me	O	3-[2-(3-Bu ^t -phenoxy)-ethoxy]phenyl	oil
387	H	Me	Me	Me	5-Me	O	3-[2-(4-F-phenoxy)-ethoxy]phenyl	102-4
388	H	Me	Me	Me	5-Me	O	3-CF ₃ SO ₂ O-phenyl	oil
389	H	Me	Me	Me	5-Me	O	4-Br-3-Cl-phenyl	86-8
390	H	Me	Me	Me	5-Me	O	3-(2-Me-2-phenylpropyl)-phenyl	oil
391	H	Me	Me	Me	5-Me	O	3-(1-HO-1-Me-ethyl)-phenyl	oil
392	H	Me	Me	Me	5-Me	O	3-(1-MeO-1-Me-ethyl)-phenyl	oil
393	H	Et	Me	Me	5-Me	O	4-F-3-CF ₃ -phenyl	oil
394	H	Me	Me	Me	5-Me	O	4-Me-3-CF ₃ -phenyl	oil
395	H	Et	Me	Me	5-Me	O	4-Me-3-CF ₃ -phenyl	oil
396	H	-(CH ₂) ₅ -		Me	5-Me	O	3-Bu ^t -phenyl	oil
397	H	H	CN	Me	Me	O	3-CF ₃ -4-Cl-phenyl-	111-4
398	H	Me	Me	Me	Me	C=O	3-CF ₃ -phenyl	oil

Those compounds in Table 1 which do not have discrete melting points have the characteristic ¹H N.M.R. shown in Table 2 below.

Table 2

Cmp	Data
2	1.78 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
3	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.95 (s, 2H, SCH ₂)
4	2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
5	1.70 (s, 3H, N=CCH ₃), 1.90 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (s, 2H, SCH ₂)
6	1.80 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 6H, N(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
7	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
9	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
10	1.75 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.10 (s, 2H, ArCH ₂)
12	2.17 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
13	2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
14	3.00 (s, 6H, N(CH ₃) ₂)
17	2.18 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, ArCH ₂)
18	2.20 (s, 3H, ArCH ₃), 3.00 (bs, 6H, N(CH ₃) ₂)
19	2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
20	2.15 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
21	1.15 (d, 6H, CH(CH ₃) ₂), 2.20 (s, 3H, ArCH ₃), 3.00 (m, 7H, CH and N(CH ₃) ₂)
22	2.15 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
23	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
24	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
25	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
26	2.14 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.82 (s, 3H, OCH ₃), 3.96 (s, 3H, OCH ₃)
27	2.14 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.93 (s, 3H, OCH ₃)
28	2.13 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
29	2.08 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
31	2.07 (s, 3H, ArCH ₃), 2.11 (s, 6H, ArCH ₃), 2.36 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
32	2.08 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
33	1.38 (t, 3H, CH ₂ CH ₃), 2.09 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.03 (s, 6H, N(CH ₃) ₂), 4.35 (q, 2H, CH ₂)
34	(s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
35	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.45-3.55 (br, 4H, CH ₂), 3.75 (d, 4H, CH ₂)

Cmp	Data
37	1.20 (t, 6H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30-3.50 (br, 4H, CH ₂ CH ₃)
38	0.95 (t, 6H, CH ₂ CH ₃), 1.70 (br, 4H, CH ₃ CH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.10-3.50 (br, 4H, NCH ₂)
39	1.00 (t, 6H, CH ₂ CH ₃), 1.35 (q, 4H, CH ₂ CH ₃), 1.60 (q, 4H, NCH ₂ CH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.15-3.45 (br, 4H, NCH ₂)
40	1.3 (d, 12H, CCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.6-4.9 (br, 2H, CH)
42	2.10 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.55 (s, 3H, NCH ₃)
43	1.55-1.75 (m, 6H, CH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.40 (br, 4H, NCH ₂)
45	1.20 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (br, 2H, NCH ₂)
50	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
51	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
52	2.18 (s, 6H, ArCH ₃), 2.98 (s, 6H, N(CH ₃) ₂)
53	2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
56	2.09 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) and 3.77 (s, 3H, OCH ₃)
65	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃) and 3.0 (s, 6H, N(CH ₃) ₂)
67	1.15 (d, 6H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂) and 3.43 (m, 1H, CH(CH ₃) ₂)
70	2.20 (s, 6H, ArCH ₃ O), 1.85 (s, 3H, CH ₃), 1.90 (s, 3H, CH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (s, 3H, NCH ₃)
71	2.05 (s, 3H, ArCH ₃), 2.28 (s, 3H, ArCH ₃) and 3.00 (s, 6H, N(CH ₃) ₂)
74	2.06 (s, 3H, ArCH ₃), 2.13 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) and 3.35 (s, 3H, CONCH ₃)
81	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, N(CH ₃) ₂)
83	2.21 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
85	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.97 (s, 6H, N(CH ₃) ₂)
90	2.16 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
92	1.00 (t, 6H, (NCH ₂ CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (m, 4H, N(CH ₂ CH ₃) ₂)
93	2.20 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
94	2.20 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
95	2.1 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
99	1.30 (s, 9H, C(CH ₃) ₃), 2.30 (m, 6H, ArCH ₃),
100	1.20 (t, 3H, NCH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.0 (s, 3H, NCH ₃), 3.40 (b, 2H, NCH ₂ CH ₃)
104	2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.37 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
105	1.30 (d, 6H, CHCH ₃), 2.16 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.43 (m, 1H, CH(CH ₃) ₂)

Cmp	Data
108	1.30 (s, 3H, C(CH ₃) ₃), 1.95 (s, 3H, CCH ₃), 2.15 (s, 3H, ArCH ₃) 3.00 (s, 6H, N(CH ₃) ₂)
109	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
110	1.00 (t, 3H, CH ₂ CH ₃), 1.25 (s, 9H, C(CH ₃) ₃), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (q, 2H, CH ₂ CH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
113	1.31 (s, 9H, C(CH ₃) ₃), 2.19 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.03 (s, 6H, N(CH ₃) ₂).
115	1.74 (s, 3H, pyrCH ₃), 2.00 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
119	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.6 (s, 3H, SCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
121	2.15 (s, 3H, ArCH ₃), 2.39 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 3.42 (s, 3H, NCH ₃)
123	1.4 (s, 9H, C(CH ₃) ₃) 2.2 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
124	0.88 (t, 3H, CH ₂ CH ₃), 1.27 (d, 3H, CHCH ₃), 1.66 (m, 2H, CHCH ₂ CH ₃), 2.14 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.19 (m, 1H, CHCH ₃)
125	2.10 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
126	2.20-2.22 (m, 9H, ArCH ₃ , CH=CCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
128	2.26 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.07 (s, 2H, NCH ₂)
129	1.10 (t, 6H, NCH ₂ CH ₃) ₂), 2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.22 (q, 4H, NCH ₂ CH ₃) ₂)
130	0.82 (t, 3H, CHCH ₃), 1.21 (d, 3H, CHCH ₃), 1.57 (q, 2H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.54 (q, 1H, CHCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
134	2.20 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂).
135	2.08 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
136	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.90-3.00 (m, 12H, 2xN(CH ₃) ₂)
137	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
138	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃), 3.1 (s, 6H, N(CH ₃) ₂)
139	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
140	1.35 (t, 3H, OCH ₂ CH ₃), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃) 2.28 (s, 3H, SCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.35 (q, 2H, OCH ₂ CH ₃)
141	2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.05 (s, 6H, NCH ₃)
142	2.10 (s, 3H, ArCH ₃), 2.20(s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
143	1.85 (s, 3H, N=CCH ₃), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.05 (s, 6H, NCH ₃)
148	2.09 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂).
149	1.20 (d, 6H, CH(CH ₃) ₂), 2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.85 (m, 1H, CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
150	2.09 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.57 (s, 3H, COCH ₃), 3.03 (s, 6H, N(CH ₃) ₂)
151	0.75 (s, 9H, C(CH ₃) ₃), 1.35 (s, 6H, C(CH ₃) ₂), 1.70 (s, 2H, CCH ₂ C), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

Cmp	Data
152	1.21 (d, 6H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.83 (m, 1H, CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
153	2.15 (s, 3H, ArCH ₃), 2.3 (s, 3H, 3.0, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
154	0.9 (m, 9H, CH ₃ (CH ₂) ₃), 1.6 (m, 2H, CH ₂), 2.05 (s, 3H, ArCH ₃) 2.15 (s 3H, ArCH ₃) 2.74 (m, 2H, ArCH ₂) 3.0 (s, 6H, N(CH ₃) ₂)
155	2.25 (s, 6H, ArCH ₃), 2.55 (s, 6H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂)
156	2.0 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂) 3.8 (s, 2H, CH ₂)
157	1.95 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂) 3.85 (s, 2H, CH ₂)
158	1.95 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂), 3.85 (m, 5H, OCH ₃ .CH ₂)
159	2.3 (s, 6H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
160	2.2 (s, 6H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
161	1.2-1.9 (m, 10H, cyCH ₂) 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃) 2.6 (m, 1H, CH) 3.0 (s, 6H, N(CH ₃) ₂)
162	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂)
163	2.2 (s, 3H, ArCH ₃) 2.3 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
164	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
165	2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 2.5 (s, 3H, CH ₃) 2.75 (s, 3H, CH ₃) 3.0 (s, 6H, N(CH ₃) ₂)
166	2.1 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂), 3.7 (s, 3H, CH ₃)
167	2.2 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
168	2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃) 3.0 (s, 6H, N(CH ₃) ₂), 4.15 (s, 3H, OCH ₃)
169	2.2 (s, 3H, ArCH ₃) 2.25 (s, 3H, ArCH ₃) 3.0 (s, 6H, N(CH ₃) ₂)
170	0.70 (t, 3H, CH ₂ CH ₃), 1.25 (s, 6H, C(CH ₃) ₂), 1.60 (q, 2H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, NCH ₃)
171	1.20 (t, 3H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.60 (q, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
172	0.70 (t, 3H, CH ₂ CH ₃), 1.25 (s, 6H, CH ₃), 1.60 (q, 2H, CH ₂ CH ₃), 1.80 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
173	2.09 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
174	2.10 (s, 3H, ArCH ₃), 2.19 (s, 9H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
176	2.23 (s, 3H, ArCH ₃), 2.35 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
177	2.22 (s, 3H, ArCH ₃), 2.34 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 6.74 (d, 1H, thiophH), 6.84 (d, 1H, thiophH)
180	0.45-1.75 (m, 19H, C ₉ H ₁₉), 2.10 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
181	1.21 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.60 (q, 2H, CH ₂ CH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
182	2.15 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
183	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
184	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 2.40 (s, 3H, SCH ₃)

Cmp	Data
185	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
186	1.60 (s, 6H, C(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
190	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
191	1.00 (t, 6H, N(CH ₂ CH ₃) ₂), 1.76 (s, 3H, N=CCH ₃), 1.97 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (m, 4H, N(CH ₂) ₂)
196	2.16 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.96 (s, 6H, N(CH ₃) ₂)
197	2.20 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
198	1.20 (d, 6H, CHCH ₃) ₂ , 2.00 (s, 3H, ArCH ₃), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂), 3.30 (q, 1H, CH(CH ₃) ₂)
199	1.25 (d, 3H, CHCH ₃), 1.85 (s, 3H, =CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂), 3.40 (q, 1H, CHCH ₃)
200	1.10 (t, 3H, CH ₂ CH ₃), 2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.60 (s, q, 2H, CH ₂ CH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
201	1.15 (t, 3H, CH ₂ CH ₃), 1.80 (s, 3H, =CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.05 (s, 3H, ArCH ₃), 2.65 (q, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
202	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.233 (s, 3H, ArCH ₃), 2.40 (s, 3H, SCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
203	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
204	1.20 (t, 3H, CH ₂ CH ₃), 2.08 (s, ArCH ₃), 2.20 (s, ArCH ₃), 2.92 (q, CH ₂ CH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
207	2.30 (s, 3H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂)
208	1.20 (s, 6H, CH(CH ₃) ₂) 2.20 (s, 3H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂), 3.30 (q, 1H, CH(CH ₃) ₂)
209	1.85 (s, 3H, NCCH ₃) 2.10 (s, 3H, ArCH ₃) 3.10 (s, 6H, N(CH ₃) ₂)
210	1.47 (s, 9H, C(CH ₃) ₃), 2.32 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
213	2.13 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
214	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂), 5.2 (s, 1H, CHCN)
215	2.16 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 2.97 (s, 6H, N(CH ₃) ₂)
216	2.10-2.25 (m, 9H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
217	2.17 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 3.78 (s, 3H, OCH ₃)
219	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
220	2.20 (s, 6H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 5.18 (s, 2H, ArCH ₂ O)
221	2.10 (s, 3H, ArCH ₃), 2.19 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.92 (s, 2H, OCH ₂ O)
222	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.27 (s, 6H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
223	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.73 (s, 6H, OCH ₃)
224	2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
225	1.25 (m, 3H, NCH ₂ CH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 2.35 (m, 2H, NCH ₂ CH ₃), 3.00 (s, 3H, NCH ₃)
227	2.35 (m, 6H, Ar(CH ₃) ₂)

Cmp	Data
230	1.22 (d, 6H, CH(CH ₃) ₂), 1.82 (s, 3H, N=CCH ₃), 2.00(s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.03 (s, 6H, N(CH ₃) ₂), 3.30 (q, 1H, CH(CH ₃) ₂)
231	2.1 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂) 3.7 (s, 3H, OCH ₃), 5.1 (s, 1H, CHCO ₂ CH ₃)
232	1.30 (t, 3H, NCH ₂ CH ₃), 2.30 (m, 8H, Ar(CH ₃) ₂ + NCH ₂ CH ₃), 3.45(br, 1H, NH)
233	1.47 (s, 9H, C(CH ₃) ₃), 1.79 (s, 3H, N=CCH ₃), 2.15 (s, 3H, ArH), 3.06 (s, 6H, N(CH ₃) ₂)
235	2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.50 (m, 1H, CH ₂ CCH), 3.00 (s, 6H, N(CH ₃) ₂), 4.60 (d, 2H, CH ₂ CCH)
236	2.10 (s, 3H, ArCH ₃) 2.20 (s, 3H, ArCH ₃) 3.00 (s, 6H, N(CH ₃) ₂)
237	1.90 (s, 3H, NCCH ₃), 2.30 (s, 3H, ArCH ₃), 3.10 (s, 6H, N(CH ₃) ₂)
238	1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.02 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
239	1.15 (t, 3H, CH ₂ CH ₃), 1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.05 (s, ArCH ₃), 2.54 (q, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
240	1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.05 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
241	1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.02 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
242	1.80 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.02 (s, 3H, ArCH ₃), 2.40 (s, 3H, SCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
243	1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.00 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
244	1.75 (s, 3H, N=CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.00 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
245	1.15 (t, 3H, CH ₂ CH ₃), 1.78 (s, 3H, N=CCH ₃), 1.93 (s, 3H, ArCH ₃), 1.99 (s, ArCH ₃), 2.88 (s, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
246	1.70 (m, 6H, CH ₂ CH ₂ CH ₂), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.50 (m, 4H, CH ₂ NCH ₂)
247	2.3 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
248	0.20(s, 9H, Si(CH ₃) ₃), 2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
249	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) 3.1 (s, 1H, CCH)
251	1.15 (d, 6H, CH(CH ₃) ₂), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) 3.30 (q, 1H, CH(CH ₃) ₂)
254	1.00 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (q, 2H, CH ₂ CH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
255	1.05 (t, 3H, CH ₂ CH ₃), 2.20 (s, 3H, ArCH ₃), 2.30 (m, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
256	1.10 (d, 6H, CH(CH ₃) ₂), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.95 (s, 6H, NCH ₃) 3.30 (q, 1H, CH(CH ₃) ₂)
257	0.85 (s, 9H, C(CH ₃) ₃), 3.00 (s, 6H, N(CH ₃) ₃)
268	2.10 (s, 3H, ArCH ₃), 2.21 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)

Cmp	Data
260	1.00 (s, 9H, C(CH ₃) ₃), 3.05 (s, 6H, N(CH ₃) ₂)
261	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, (OCH ₂ Ph)
262	2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
263	2.10 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.03 (s, 6H, 2N(CH ₃) ₂)
265	2.15 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
266	2.15 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, CH ₂)
267	0.9-1.3 (m, 5H), 1.6-1.8 (m, 6H), 2.1 (s, 3H), 2.15 (s, 3H), 3.6 (d, 2H), 2.95 (d, 6H)
268	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 4.2 (m, 4H, O(CH ₂) ₂ O)
269	1.2 (s, 9H, C(CH ₃) ₃), 2.1 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 4.1-4.25 (br, 4H, O(CH ₂) ₂ O)
270	1.85-2.0 (m, 4H, CH ₂ CH ₂), 2.1 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8-4.0 (m, 4H, OCH ₂ , OCH ₂)
271	1.65-1.9 (m, 4H, (CH ₂) ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.65-3.9 (m, 4H, OCH ₂ , NCH ₂)
272	1.4-1.8 (m, 6H, (CH ₂) ₃), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8 (m, 4H, OCH ₂ , ArCH ₂)
273	1.2 (s, 9H, C(CH ₃) ₃), 1.90 (m, 2H, CH ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.95-4.1 (m, 4H, (CH ₂) ₃)
274	1.1-1.2 (m, 2H, CH ₂), 1.3 (s, 9H, C(CH ₃) ₃), 1.9-2.0 (m, 2H, CH ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.9-4.0 (m, 4H, OCH ₂ , OCH ₂)
275	1.25 (s, 9H, C(CH ₃) ₃), 1.9 (brs, 4H, (CH ₂) ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8-4.0 (br.d, 4H, O(CH ₂), OCH ₂)
276	1.35-1.8 (m, 6H, (CH ₂) ₃), 2.1 (d, 6H, Ar(CH ₃) ₂), 2.9 (s, 6H, N(CH ₃) ₂), 3.45 (m, 1H, CH), 3.6-4.0 (m, 4H, OCH ₂ , OCH ₂)
277	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.2 (s, 2H, CH ₂), 2.9 (s, 6H, N(CH ₃) ₂), 4.05 (m, 2H, CH ₂), 4.1 (m, 2H, CH ₂)
278	1.2-1.8 (m, 20H, (CH ₂) ₁₀), 2.05 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.3 (m, 1H, CH), 3.45 (m, 1H, CH), 3.7 (m, 1H, CH), 3.8-3.9 (m, 3H, CH + CH ₂), 4.5 (m, 1H, CH)
279	2.15 (d, 6H, (ArCH ₃) ₂), 2.9 (s, 6H, N(CH ₃) ₂), 3.6 (s, 3H, OCH ₃), 3.75 (s, 3H, COOCH ₃), 4.8 (s, 2H, CH ₂)
280	2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.0 (m, 2H, CH ₂), 4.05 (m, 2H, CH ₂)
281	0.95 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, CCH ₃), 1.65 (q, 2H, CH ₂ CH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.05 (s, 3H, NCH ₃), 3.30 (m, 2H, NCH ₂)
282	0.90 (t, 3H, CH ₂ CH ₃), 1.20 (s, 9H, CCH ₃), 1.30 (m, 2H, CH ₂ CH ₂), 1.50 (m, 2H, CH ₂ CH ₂), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.90 (s, 3H, NCH ₃), 3.20 (m, 2H, NCH ₂)
283	1.25 (d, 6H, CH(CH ₃) ₂), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.90 (s, 3H, N(CH ₃) ₂), 3.70 (m, 1H, CH(CH ₃) ₂)

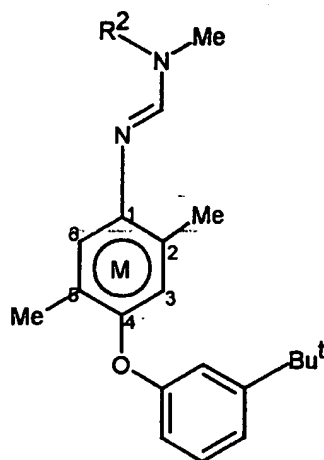
Cmp	Data
284	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.95 (m, 2H, NCH ₂), 5.25 (d, 2H, CH=CH ₂), 5.90 (m, 1H, CH=CH ₂)
285	1.00 (t, 3H, CH ₂ CH ₃), 1.25 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 1.40 (q, 2H, CH ₂ CH ₃), 1.65 (m, 2H, CH ₂ CH ₂), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.40 (m, 4H, NCH ₂ , NCH ₂)
286	1.15 (t, 6H, (CH ₂ CH ₃) ₂), 1.20 (s, 9H, C(CH ₃) ₃), 2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.35 (m, 4H, N(CH ₂ CH ₃) ₂)
287	1.50 (s, 9H, C(CH ₃) ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
288	1.21 (m, 9H, CH ₂ CH ₃ , C(CH ₃) ₃), 2.15 (d, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₃), 3.61 (m, 1H, CH ₂ CH ₃), 3.05 (s, 6H, N(CH ₃) ₂), 3.92 (m, 1H, CH ₂ CH ₃)
289	1.20-1.80 (m, 10H, C ₅ H ₁₀), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (m, 1H, SCH)
290	0.80-2.00 (m, 11H, C ₆ H ₁₁), 2.15 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.70 (d, 2H, OCH ₂ C ₆ H ₁₁)
291	1.30 (d, 6H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.45 (m, 1H, CH(CH ₃) ₂)
292	2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (t, 2H, OCH ₂ CH ₂ O), 4.35 (t, 2H, OCH ₂ CH ₂ O)
294	3.00 (s, 6H, N(CH ₃) ₂)
295	2.00 (m, 6H, (ArCH ₃) ₂), 2.95 (m, 6H, N(CH ₃) ₂), 3.30 (m, 2H, ArCH ₂ CH ₂), 4.05 (m, 2H, ArCH ₂ CH ₂)
296	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₂ O)
297	1.25 (s, 9H, C(CH ₃) ₃), 3.00 (s, 6H, N(CH ₃) ₂)
298	2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
301	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₂ O)
302	0.90 (d, 6H, CH(CH ₃) ₂), 1.70 (m, 2H, CH ₂ CH(CH ₃) ₂), 1.78 (m, 1H, CH(CH ₃) ₂), 2.15 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.03 (t, 2H, OCH ₂ CH ₂)
303	1.33 (d, 6H, CH(CH ₃) ₂), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.42 (m, 1H, CH(CH ₃) ₂)
304	1.00 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.15 (s, 6H, N(CH ₃) ₂)
305	2.20 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 5.20 (s, 2H, ArCH ₂ O)
306	2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.15 (s, 2H, ArCH ₂ O)
310	1.20 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
311	1.25 (m, 9H, CH ₂ CH ₃ + CH(CH ₃) ₂), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 2.90 (q, 1H, CH(CH ₃) ₂), 3.05 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
312	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃), 3.75 (s, 3H, OCH ₃)

Cmp	Data
313	0.70 (t, 3H, CH ₂ CH ₃), 1.25 (t, 3H, CH ₂ CH ₃), 1.30 (s, 6H, C(CH ₃) ₂), 1.65 (q, 2H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (b, 2H, NCH ₂)
314	0.70-1.70 (m, 24H, C ₁₀ H ₂₁ + CHCH ₃), 2.02 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂), 4.20 (m, 1H, OCH(CH ₃)C)
315	1.30 (d, 6H, CH(CH ₃) ₂), 2.18 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.55 (m, 1H, CH(CH ₃) ₂)
316	1.10 (d, 12H, CH(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
317	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.47 (s, 3H, OCH ₃)
318	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
319	0.75-1.85 (m, 24H, C ₁₀ H ₂₁ + CHCH ₃), 2.18 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.38 (m, 1H, C ₁₀ H ₂₁ CHCH ₃)
320	0.90 (d, 6H, CH(CH ₃) ₂), 1.60 (t, 2H, OCH ₂ CH ₂ CH), 1.70 (m, 1H, CH(CH ₃) ₂), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (t, 2H, OCH ₂ CH ₂)
321	1.30 (d, 6H, CH(CH ₃) ₂), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.50 (m, 1H, CH(CH ₃) ₂)
323	2.20 (m, 6H, ArCH ₃), 8.60-8.35 (m, 1H, NH)
324	1.30 (s, 9H, C(CH ₃) ₃), 2.25 (m, 6H, ArCH ₃), 8.30-8.60 (m, 1H, NH)
325	1.25 (t, 3H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
326	1.30 (s, 9H, C(CH ₃) ₃), 1.25 (t, 3H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, NCH ₂ CH ₃)
328	2.20 (s, 3H, ArCH ₃), 2.24 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
329	2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.95 (s, 3H, NCH ₃), 3.85 (m, 2H, NCH ₂), 5.15 (d, 2H, CHCH ₂), 5.80 (m, 1H, CHCH ₂)
330	1.20 (d, 3H, CH(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.85 (s, 3H, NCH ₃), 3.60 (m, 1H, CHCH ₃)
331	0.90 (t, 3H, CH ₂ CH ₃), 1.30 (m, 2H, CH ₂ CH ₂), 1.55 (m, 2H, CH ₂ CH ₂), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.95 (s, 3H, NCH ₃), 3.20 (m, 2H, NCH ₂)
334	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
335	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
336	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
340	0.93 (d, 6H, CH(CH ₃) ₂), 1.60 (t, 2H, OCH ₂ CH ₂ CH), 1.80 (m, 1H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (t, 2H, OCH ₂ CH ₂)
341	0.70-1.85 (m, 11H, C ₆ H ₁₁), 2.05 (s, 3H, ArCH ₃), 2.13 (s, 3H, ArCH ₃), 2.92 (s, 6H, N(CH ₃) ₂), 3.60 (d, 2H, OCH ₂ Ar)
342	2.15 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, OCH ₂ Ar)
343	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.50 (d, 1H, CH ₂ CCH), 3.00 (s, 6H, N(CH ₃) ₂), 4.60 (d, 2H, OCH ₂ CCH)

Cmp	Data
344	2.12 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.45 (d, 2H, OCH ₂ CHCH ₂), 5.22-5.42 (m, 2H, OCH ₂ CHCH ₂), 6.00 (m, 1H, OCH ₂ CHCH ₂)
345	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.25 (brs, 4H, ArOCH ₂ CH ₂ O)
346	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
347	1.25 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.05 (b, 6H, N(CH ₃) ₂)
348	0.30 (m, 2H, cyCH ₂), 0.60 (m, 2H, cyCH ₂), 1.20 (m, 1H, cyCH), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.72 (d, 2H, OCH ₂ C ₃ H ₅)
349	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.20 (s, 2H, COCH ₂ O)
350	2.07 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.75 (s, 3H, OCH ₃), 4.52 (s, 2H, COCH ₂ O)
352	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.60 (s, 2H, COCH ₂ O), 5.20 (s, 2H, PhCH ₂ O)
353	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
354	1.60-2.30 (m, 4H, THF), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.70-4.00 (m, 4H, THF + OCH ₂) 4.20 (m, 1H, THF)
355	1.20-1.95 (m, 6H, THP), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.40-4.10 (m, 5H, THP + OCH ₂)
357	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
358	1.10 (t, 3H, OCH ₂ CH ₃), 1.60 (d, 3H, CHCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (q, 2H, OCH ₂ CH ₃), 4.60 (q, 1H, CHCH ₃)
359	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.25 (q, 2H, OCH ₂ CF ₃)
360	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (m, 4H, OCH ₂ CH ₂ CH ₂), 3.00 (s, 6H, N(CH ₃) ₂), 3.40 (t, 2H, CH ₂ CH ₂ CN) 3.90 (t, 2H, OCH ₂ (CH ₂) ₃)
361	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
362	1.00 (s, 9H, C(CH ₃) ₃), 2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
363	2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
364	1.2 (t, 3H, NCH ₂ CH ₃) 2.05 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3 (s, 3H, NCH ₃), 3.35 (br, 2H, NCH ₂ CH ₃)
365	2.1 (s, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
366	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
367	2.00 (s, 3H, CH ₃ CO), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.98 (s, 6H, N(CH ₃) ₂)
368	2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
369	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (s, 6H, Het(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
370	0.90 (d, 6H, CH ₂ CH(CH ₃) ₂), 1.80 (m, 1H, CH ₂ CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (d, 2H, CH ₂ CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
371	1.60 (s, 6H, C(CH ₃) ₂), 1.95 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)

Cmp	Data
372	1.05 (t, 6H, CH(CH ₃) ₂), 1.25 (t, 3H, OCH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.23 (m, 1H, CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (q, 2H, OCH ₂ CH ₃)
373	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.35 (s, 3H, NCH ₃)
374	1.45 (t, 3H, NCH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.8 (q, 2H, NCH ₂ CH ₃)
375	2.15 (s, ArCH ₃), 2.25 (s, ArCH ₃), 2.6 (s, 3H, NC(O)CH ₃)
376	1.45 (d, 3H, CHCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.22 (s, 3H, COCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.55 (q, 1H, OCHCH ₃)
377	0.93 (m, 6H, (CHCH ₂ CH ₃) ₂), 1.60 (m, 4H, (CHCH ₂ CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (m, 1H, OCH)
378	1.5-2.9 (m, 9H, cyp), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
379	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
380	1.22 (t, 3H, OCH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (s, 3H, OCH ₃), 4.25 (q, 2H, OCH ₂ CH ₃)
381	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
382	0.85 (t, 3H, CH ₂ CH ₃), 1.25 (m, 6H, CH ₂ CH ₂), 1.55 (m, 2H, Ar CH ₂ CH ₂), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.50 (t, 2H, CH ₂ CH ₃), 3.00 (s, 6H, NCH ₃)
383	2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
384	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.45 (s, 6H, (OCH ₃) ₂), 3.93 (d, 2H, OCH ₂), 4.68 (t, 1H, (CH ₃ O) ₂ CHCH ₂)
385	1.23 (t, 6H, (CH ₃ CH ₂ O) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.55-3.80 (m, 4H, (CH ₃ CH ₂ O) ₂), 3.95 (d, 2H, OCH ₂), 4.78 (t, 1H, (CH ₃ CH ₂ O) ₂ CH)
386	1.32 (s, 9H, C(CH ₃) ₃), 2.13 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.30 (m, 4H, OCH ₂ CH ₂ O)
388	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
390	1.30 (s, 6H, PhC(CH ₃) ₂), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.80 (s, 2H, PhCCH ₂), 3.05 (s, 6H, N(CH ₃) ₂)
391	1.55 (s, 6H, C(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
392	1.50 (s, 6H, C(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.05 (s, 3H, OCH ₃)
393	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (b, 2H, CH ₂ CH ₃)
394	2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
395	1.20 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (s, 3H, ArCH ₃), 3.00 (s, 3H, N(CH ₃), 3.40 (br, 2H, NCH ₂)
396	1.30 (s, 9H, C(CH ₃) ₃), 1.90 (m, 4H, CH ₂ CH ₂), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.5 (m, 4H, CH ₂ NCH ₂)
397	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

The following compounds of formula Ib (see Table 3), i.e. compounds of general formula I where R¹ is hydrogen, R³ is methyl, R⁴ is methyl, R⁵ is methyl substituted at the 5-position of the phenyl ring, -A-R⁶ is para to the amidine moiety and is 3-Bu^t-phenoxy, may be prepared by methods analogous to those of Examples 1 to 17 Where the moiety depicted on the right side of linkage A is attached to R⁶.



(Ib)

Table 3

Cmp	R ²	m.p./°C
501	1-Me-piperidin-4-yl	oil
502	2-dimethylaminoethyl	oil
503	ethoxycarbonylmethyl	oil
504	propargyl	oil
505	2,2-dimethoxyethyl	oil
506	2-hydroxyethyl	oil
507	cyclopropyl	oil
508	cyclohexyl	oil

Those compounds in Table 3 which do not have discrete melting points have the characteristic ¹H N.M.R. shown in Table 4 below.

Table 4

Cmp	Data
501	1.25 (s, 9H, C(CH ₃) ₃), 1.70-2.05 (m, 8H, cyCH ₂), 2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.30 (s, 3H, NCH ₃), 2.90 (s, 3H, NCH ₃)
502	1.20 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 6H, CH ₂ N(CH ₃) ₂), 2.45 (m, 2H, NCH ₂), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, NCH ₂)
503	1.00 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.10 (s, 3H, NCH ₃), 4.15 (s, 2H, NCH ₂), 4.25 (q, 2H, CH ₂ CH ₃)
504	1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 2H, ArCH ₃), 2.30 (s, 1H, CHC), 3.10 (s, 3H, NCH ₃), 4.20 (s, 2H, NCH ₂)
505	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.15 (s, 3H, NCH ₃), 3.50 (s, 6H, OCH ₃), 3.60 (m, 2H, NCH ₂), 4.60 (m, 1H, CH)
506	1.20 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 3H, NCH ₃), 3.55 (s, 2H, OCH ₂), 3.80 (s, 2H, NCH ₂), 5.95 (m, 1H, OH)
507	1.30 (s, 9H, C(CH ₃) ₃), 0.60 (s, 2H, cyCH ₂), 0.70 (s, 2H, cyCH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃)
508	0.85-1.90 (m, 10H, cyCH ₂), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, CH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃)

Example 18*N,N*-Dimethyl-*N'*-[4-(3-trifluoromethylphenoxy)-2,5-xylol]formamidine sulfate salt5 (Compound 602)

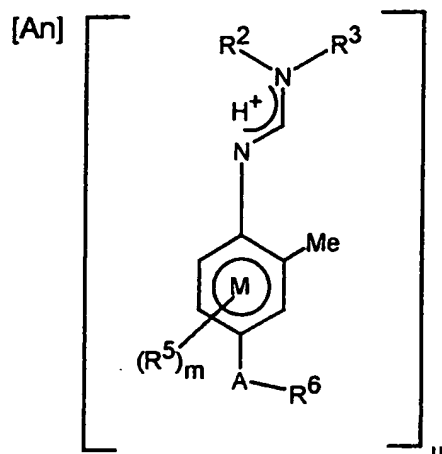
To a solution of the compound 1 (see Table 1) (0.3g) in ethanol (0.3 ml) was added dropwise concentrated sulfuric acid (0.098 g). The mixture was filtered and the resulting solid was washed with diethyl ether to give the title compound as a solid, m.p. 178-80 °C.

10

The following compounds of formula X (see Table 4), i.e. salts of general formula I where -A-R⁶ is para to the amidine moiety, R¹ is hydrogen, R⁴ is methyl, An is an anion and u is 1 or 2 depending on the valency of the anion, may be prepared by methods analogous to Example 18

15

61



(X)

Table 4

Cmp	R ²	R ³	(R ⁵) _m	A	R ⁶	An ⁻	m.p./°C
600	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	sulfate	215-7
601	Me	Me	5-Me, 6-Br	O	3-CF ₃ -phenyl	sulfate	114-8
602	Me	Me	5-Me	O	3-CF ₃ -phenyl	sulfate	178-80
603	Me	Me	5-Me	O	3-CF ₃ -phenyl	chloride	152-4
604	Me	Me	5-Me	O	3-CF ₃ -phenyl	<i>p</i> -toluenesulfonate	133-5
605	Me	Me	5-Me	O	3-CF ₃ -phenyl	saccharinate	oil
606	Me	Me	5-Me	O	3-CF ₃ -phenyl	trifluoroacetate	141-3
607	Me	Me	5-Me	O	3-CF ₃ -phenyl	methanesulfonate	151-3
608	Me	Me	5-Me	O	3-CF ₃ -phenyl	oxalate	184-6
609	Me	Me	5-Me	O	3-CF ₃ -phenyl	camphorsulfonate	oil
610	-(CH ₂) ₄ -		5-Me	O	3-CF ₃ -phenyl	chloride	159-63
611	Me	Me	5-Me	O	3-Ph-1,2,4- thiadiazol-5-yl	chloride	80

Those compounds in Table 4 which do not have discrete melting points have the following characteristic ¹H N.M.R. data in CDCl₃.

Compound 605

^1H N.M.R. $\delta(\text{ppm})$ 2.15 (s, 3H, ArCH₃), 2.25 (s, 3H, ArCH₃), 3.20 (s, 3H, N(CH₃)), 3.25 (s, 3H, N(CH₃)), 10.20-10.80 (br, 1H, NH)

5

Compound 609

^1H N.M.R. $\delta(\text{ppm})$ 0.75 (s, 3H, CCH₃), 1.05 (s, 3H, CCH₃), 1.25 (d, 2H, CH₂), 1.75-1.95 (m, 3H), 2.15 (s, 3H, ArCH₃), 2.20 (m, 1H, CH), 2.25 (s, 3H, ArCH₃), 2.35 (d, 1H, CH), 2.60 (t, 1H, CH), 2.85 (d, 1H, CH), 3.20 (s, 3H, N(CH₃)), 3.30 (s, 3H, N(CH₃)).

10

The following compounds of formula Ic (see Table 5), i.e. compounds of general formula I where R¹ and R⁵ are hydrogen, R², R³ and R⁴ are methyl, may be prepared by methods analogous to those of Examples 1 to 17. Where the moiety depicted on the right side of linkage A is attached to R⁶.

15

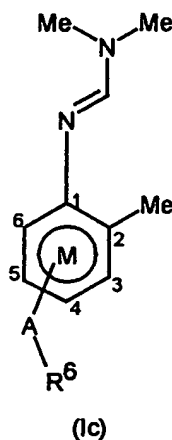


Table 5

Cmp	Pos ⁿ of -A-R ⁶	A	R ⁶	Data (m.p./°C or ^1H N.M.R)
700	5	-OCH ₂ -	3-CF ₃ -phenyl	2.00 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₃)
701	5	-OCH ₂ -	4-Bu ^t -phenyl	85-7 °C
702	3	-O-	3-CF ₃ -phenyl	2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

Test Examples

Compounds were assessed for activity against one or more of the following:

Phytophthora infestans: late tomato blight

Plasmopara viticola: vine downy mildew

5 *Erysiphe graminis f. sp. tritici*: wheat powdery mildew

Pyricularia oryzae: rice blast

Leptosphaeria nodorum: glume blotch

10 Aqueous solutions or dispersions of the compounds at the desired concentration, including a wetting agent, were applied by spray or by drenching the stem base of the test plants, as appropriate. After a given time, plants or plant parts were inoculated with appropriate test pathogens before or after application of the compounds as appropriate, and kept under controlled environmental conditions suitable for maintaining plant growth and development of the disease. After an

15 appropriate time, the degree of infection of the affected part of the plant was visually estimated. Compounds are assessed on a score of 1 to 3 where 1 is little or no control, 2 is moderate control and 3 is good to total control. At a concentration of 500 ppm (w/v) or less, the following compounds scored 2 or more against the fungi specified.

20

Phytophthora infestans

7, 8, 28, 30, 36, 46, and 271.

Plasmopara viticola

25 149, 331, 373 and 364.

Erysiphe graminis f. sp. Tritici

1-5, 7-9, 11-13, 15-24, 26, 28-41, 43, 45, 46, 48, 51, 52, 55, 56, 58, 59, 61, 62, 65, 68, 76, 84, 86, 90, 100, 101, 104-106, 109, 112, 113, 120, 123, 124,

30 130, 135, 138, 139, 140, 141, 143, 146, 149, 160, 166, 171, 173-175, 183, 187-190, 193-196, 200, 203-205, 207-209, 213, 215-217, 223, 225, 228, 231, 232, 234, 237, 246, 250, 252, 253, 256, 258, 259, 261, 262, 264, 266-272, 277, 279, 281, 282, 284, 286-288, 290, 291, 295, 298, 299, 301, 303, 310-312, 318, 325, 326, 330, 331, 335, 346, 347, 349, 351, 353, 355-357,

359, 361, 364, 365-368, 370-372, 374, 376-379, 392, 396, 398, 502, 504, 600, 601, 610 and 611.

Pyricularia oryzae

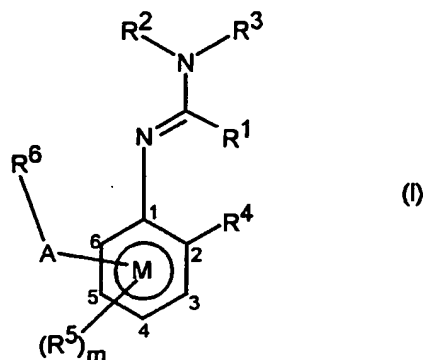
5 7, 17, 20, 21, 23, 26-28, 30, 32, 34, 36, 38, 41, 43, 45, 51, 54, 55, 59, 63, 94, 140, 143, 146, 163, 225, 325, 352, 353, 360, 368, 600 and 611.

Leptosphaeria nodorum

10 1, 2, 5, 7, 8, 15, 27, 29, 35, 37, 41, 43, 45, 48, 56, 59, 61, 72, 100, 130, 160, 170, 181, 194, 208, 214, 235, 246, 283, 284, 290, 303, 310, 311, 312, 325, 326, 351, 364, 369, 378 and 392

Claims

1 The use of a compound of general formula I and salts thereof as fungicides



wherein

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen;

R^2 and R^3 , which may be the same or different, are any group defined for R^1 ; cyano; acyl; $-OR^a$ or $-SR^a$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R^2 and R^3 , or R^2 and R^1 , together with their interconnecting atoms may form a ring, which may be substituted;

R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ or $-Si(R^a)_3$;

m is 0 to 3;

when present R^5 , which may be the same or different to any other R^5 , is any group defined for R^4 ;

R^6 is optionally substituted carbo- or heterocyclyl; and

A is a direct bond, $-O-$, $-S(O)_n-$, $-NR^9-$, $-CR^7=CR^7-$, $-C\equiv C-$, $-A^1-$, $-A^1-A^1-$, $-O-(A^1)_k-O-$, $-O-(A^1)_k-$, $-A^3-$, $-A^4-$, $-A^1O-$, $-A^1S(O)_n-$, $-A^2-$, OA^2- , $-NR^9A^2-$, $-OA^2-A^1-$, $-OA^2-C(R^7)=C(R^8)-$, $-S(O)_nA^1-$, $-A^1-A^4-$, $-A^1-A^4-C(R^8)=N-N=CR^8-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-$, $-A^1-A^4-A^3-$,

$-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$,
 $-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$, $-A^1-X-C(R^8)=N-N=CR^8-$,
 $-A^1-X-C(R^8)=N-N(R^9)-$, $-A^1-X-A-X^1-$, $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$,
 $-A^1-O-N(R^9)-A^2-N(R^9)-$, $-A^1-O-N(R^9)-A^2-$, $-A^1-N(R^9)-A^2-N(R^9)-$,
5 $-A^1-N(R^9)-A^2-$, $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$,
 $-A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-$, $-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$,
 $-C\equiv C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$, $-C(R^8)=N-N=C(R^8)-$, $-C(R^8)=N-N(R^9)-$,
 $-(CH_2)_2-O-N=C(R^8)-$ or $-X-A^2-N(R^9)-$

where

10 n is 0, 1 or 2,

k is 1 to 9,

A^1 is $-CHR^7-$,

A^2 is $-C(=X)-$,

A^3 is $-C(R^8)=N-O-$,

15 A^4 is $-O-N=C(R^8)-$,

X is O or S,

X^1 is O, S, NR^9 or a direct bond,

X^2 is O, NR^9 or a direct bond,

X^3 is hydrogen, $-C(=O)-$, $-SO_2-$ or a direct bond,

20 R^7 , which may be the same or different to any other R^7 , is alkyl, cycloalkyl
or phenyl, each of which may be substituted; or is hydrogen,
halogen, cyano or acyl;

R^8 , which may be the same or different to any other R^8 , is alkyl, alkenyl,
alkynyl, alkoxy, alkylthio, carbo- or heterocyclyl, each of which may
25 be substituted; or is hydrogen;

R^9 , which may be the same or different to any other R^9 , is optionally
substituted alkyl, optionally substituted carbo- or heterocyclyl,
hydrogen or acyl; or two R^9 groups on A, together with the
connecting atoms, form a 5 to 7 membered ring;

30 where the moiety depicted on the right side of linkage A is attached to R^6 ;

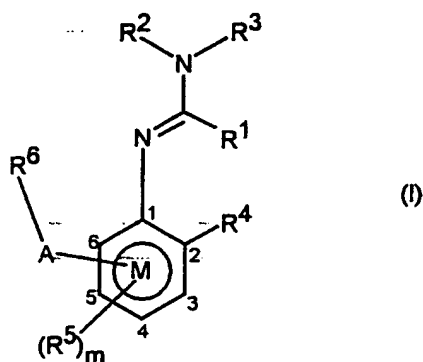
or $-A-R^6$ and R^5 together with benzene ring M form an optionally substituted fused ring system.

- 2 The use according to claim 2 wherein R^1 is alkyl, alkenyl or alkynyl, each
5 of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or
optionally substituted phenyl; or is hydrogen.
- 3 The use according to claim 1 wherein R^1 is C_1-C_{10} alkyl or hydrogen.
- 10 4 The use according to any preceding claim wherein R^2 and R^3 , which may
be the same or different, are alkyl, alkenyl or alkynyl, each of which may
be substituted by alkoxy, haloalkoxy, alkylthio, halogen, optionally
substituted phenyl; or is hydrogen; alkoxy; alkoxyalkoxy; benzyloxy; cyano;
15 or alkylcarbonyl.
- 5 The use according to claim 4 wherein R^2 and R^3 , which may be the same
or different, are C_1-C_{10} alkyl or hydrogen.
- 20 6 The use according to any preceding claim wherein R^4 is alkyl, alkenyl, or
alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio,
halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano;
acyl; alkoxy; haloalkoxy; or alkylthio.
- 25 7 The use according to claim 6 wherein R^4 is C_1-C_{10} alkyl or halogen.
- 8 The use according to any preceding claim wherein m is 0 or 1.
- 9 The use according to any preceding claim wherein, when present, R^5 is a
group defined for R^4 in claim 6.
- 30 10 The use according to any preceding claim wherein when present, the group
 R^5 is attached at the 5 position of ring M.

- 11 The use according to any preceding claim wherein A is a direct bond, -O-,
-S(O)_nA¹-, -O(A¹)_k-, -S(O)_n-, -NR⁹A²-, -A²-, -OA²-, -OA²-A¹-, -NR⁹- or
-O(A¹)_kO-.
- 5 12 The use according to claim 11 wherein A is a direct bond, -O-, -S-, -NR⁹-,
-CHR⁷- or -O-CHR⁷-.
- 13 The use according to any preceding claim wherein, when present, R⁹ is
alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy,
10 haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is
hydrogen
- 14 The use according to any preceding claim wherein, when present, R⁷ is
alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy,
15 haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is
hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; alkylthio; or hydrogen.
- 15 The use according to any preceding claim wherein A is attached to the 4
position of benzene ring M.
- 20 16 The use according to any preceding claim wherein R⁶ is optionally
substituted phenyl or optionally substituted aromatic heterocyclyl.
- 25 17 The use according to any preceding claim wherein when substituted, R⁶
may be substituted by one or more substituents, which may be the same or
different, and may be selected from the list: alkyl, alkenyl, alkynyl, carbo-
or heterocyclyl, each of which may be substituted; hydroxy; mercapto;
azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato;
thiocyanato; -SF₅; -OR^a; -SR^a and -Si(R^a)₃, where R^a is alkyl, alkenyl,
30 alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted.
- 18 The use according to claim 17 wherein when substituted, R⁶ may be
substituted by one or more substituents, which may be the same or

different, and may be selected from the list: hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO-alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

19 The use of a compound of general formula I and salts thereof as fungicides



10 **wherein:**

R¹ is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydrogen;

15 R² and R³, which may be the same or different, are as defined for R¹, or
are alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl;

R⁴ is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydroxy; halogen; cyano; or acyl;

m is 0 or 1;

when present, R^5 is a group defined for R^4 ;

A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-,

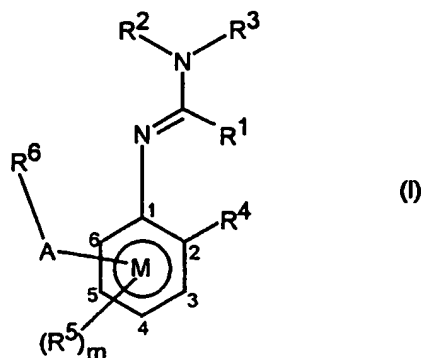
wherein, when present, R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, or halogen; or is hydrogen; and R⁷ is a group defined for

R^9 , or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy or alkylthio;

A is attached to the 4 position of benzene ring M; and

R^6 is phenyl or aromatic heterocyclyl, optionally substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO -alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

A compound of general formula I and salts thereof



wherein

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

R^2 and R^3 , which may be the same or different, are any group defined for R^1 , or together with the nitrogen to which they are attached may form a ring, which may be substituted;

R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted;

m is 1;

R^5 is any group defined for R^4 attached to the 5-position of the benzene ring M;

R^6 is optionally substituted carbo- or heterocyclyl; and

A is a direct bond; -O-; -S-; -NR⁹-, where R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; -CHR⁷- or -O-CHR⁷-, where R⁷ is alkyl, alkenyl, or alkynyl, which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio;

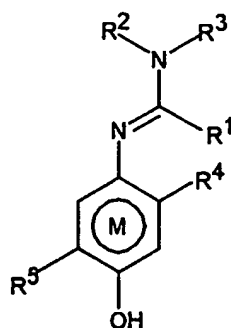
where -A-R⁶ is in the 4-position of the benzene ring M and the moiety depicted on the right side of linkage A is attached to R⁶; or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted fused ring system.

21 A fungicidal composition comprising at least one compound as claimed in claim 20 in admixture with an agriculturally acceptable diluent or carrier.

15

22 A method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound as defined in any preceding claim.

20 23 A compound of general formula XIIa,



(XIIa)

where

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

25 R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl,

carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which may be substituted;

5 R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; and

R⁵ is any group defined for R⁴;

with the proviso that R⁵ is not *tert*-butyl.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 00/00345

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/37 C07D333/58 C07D285/00 C07D273/00 C07C251/04
A01N37/52 A01N43/82

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 4 209 319 A (DUERR DIETER ET AL) 24 June 1980-(1980-06-24) column 3	1-23
A	EP 0 429 281 A (HOKKO CHEM IND CO) 29 May 1991 (1991-05-29) abstract	1-23
A	US 3 284 289 A (DUERR DIETER) 8 November 1966 (1966-11-08) column 3 -column 10; examples 1-6	1-23
A	WO 95 22532 A (BAYER AG ;LINKER KARL HEINZ (DE); FINDEISEN KURT (DE); HAAS WILHEL) 24 August 1995 (1995-08-24) cited in the application abstract	1-23

☐

Further documents are listed in the continuation of box C.

☒

Patent family members are listed in annex.

* Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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"A" document member of the same patent family

Date of the actual completion of the international search

17 April 2000

Date of mailing of the international search report

27/04/2000

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/GB 00/00345

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